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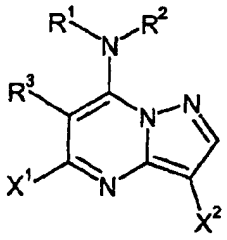
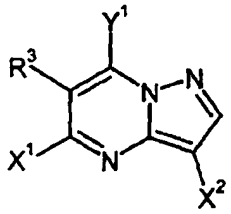
The following information is taken from documents submitted by the applicant

(54) Pyrazolopyrimidines

(57) New pyrazolopyrimidines having the structure

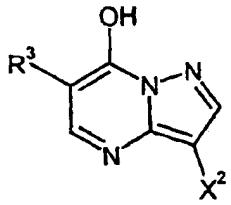


(I),



(II),

in which
R1, R2, R3, X^1, and X^2 have the meanings stated in the description,
a process for preparing said substances and their use to combat harmful organisms.
Novel intermediates having the structures



(IV)

and

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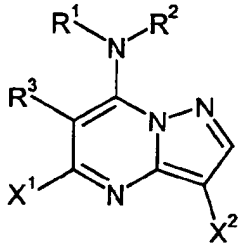
Description

Pyrazolopyrimidines

[0001] The present invention relates to novel pyrazolopyrimidines, a process for their preparation, and their use to combat harmful organisms. The invention also relates to novel intermediates as well as processes for their preparation.

[0002] It is already known that certain pyrazolopyrimidines possess fungicidal properties (see DE-A 31 30 633 or FR-A 2 794 745). The effectiveness of these substances is good, but sometimes leaves something to be desired at low application rates.

[0003] Now, novel pyrazolopyrimidines having the structure



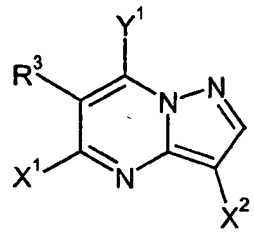
(I),

in which
R^1 stands for amino, hydroxy, or in each case for optionally substituted alkyl, alkenyl, alkynyl, cy[c]loalkyl, alkoxyl, alkenyloxy, alkinyloxy, cycloalkyloxy, alkylamino, dialkylamino, alkenylamino, alkinylamino, cycloalkylamino, N-cycloalkyl-N-alkylamino, alkylideneamino, or heterocyclyl,
R^2 stands for hydrogen or in each case for optionally substituted alkyl, alkenyl, alkynyl, or cycloalkyl, or
R^1 and R^2, together with the nitrogen atom to which they are bonded, form an optionally substituted heterocy[c]lic ring,
R^3 stands for optionally substituted aryl,
X^1 stands for hydrogen or halogen, and
X^2 stands for halogen, cyano, nitro, halogenalkyl, formyl, alkoxycarbonyl, alkylcarbonyl, hydroxyiminoalkyl, or alkoxyiminoalkyl,
as well as acid addition salts of those compounds of structure (I)
in which
R^1 stands for amino.

[0004] The compounds of the invention may, depending on the substitution pattern, optionally be present as mixtures of various possible isomeric forms, in particular of stereoisomers, such as E- and Z-, threo- and erythroisomers as well as optical isomers, optionally also in the form of tautomers. If R^3 is unidentically substituted on the two atoms that are adjacent to the bonding site, the respective compounds can be present in a special form of stereoisomerism, namely as atropisomers.

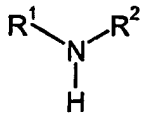
[0005] It was also found that pyrazolopyrimidines of structure (I) can be prepared by reacting

a) halogen pyrazolopyrimidines having the structure



(II),

in which
R^3, X^1, and X^2 have the meanings stated above, and
Y^1 stands for halogen,
are reacted with amines having the structure



(III)

in which
R^1 and R^2 have the meanings stated above
optionally in the presence of a diluent, optionally in the presence of a catalyst, and

optionally in the presence of an acid acceptor,
and optionally, an acid is added to the resulting compounds of structure (I), in which
R^1 stands for amino.

[0006] Finally, it was found that the novel pyrazolopyrimidines of structure (I) or their acid addition salts are very well suited for combating harmful organisms. Above all, they exhibit a high effectiveness against undesired microorganisms, such as fungi and bacteria. The substances of the invention also have a very good insecticidal and nematicidal effect.

[0007] The pyrazolopyrimidines of structure (I) of the invention, as well as their acid addition salts, unexpectedly have a substantially better effectiveness against harmful organisms than the prior-art substances that tend to have the same effect and that are constitutionally the most similar.

[0008] The pyrazolopyrimidines of the invention are generally defined by structure (I).

[0009] R^1 preferably stands for hydroxy, amino, for alkyl having 1 to 6 carbon atoms optionally substituted by halogen, cyano, hydroxy, amino, phenyl, heterocyclyl, alkoxy having 1 to 4 carbon atoms, alkoxycarbonyl having 1 to 4 carbon atoms, alkylamino having 1 to 4 carbon atoms, dialkylamino having 2 to 8 carbon atoms, cycloalkyl having 3 to 6 carbon atoms, halogencycloalkyl having 3 to 6 carbon atoms, and 1 to 5 halogen atoms, alkylthio having 1 to 4 carbon atoms, oxo, hydroxyimino, and/or alkoxyimino having 1 to 4 carbon atoms,
for alkenyl having 2 to 6 carbon atoms, optionally substituted by halogen, cycloalkyl, cyano, phenyl, and/or heterocyclyl,
for alkynyl having 2 to 6 carbon atoms optionally substituted by halogen, cycloalkyl, cyano, phenyl, and/or heterocyclyl,
for cycloalkyl having 3 to 7 carbon atoms optionally substituted by halogen, cycloalkyl, cyano, halogen alkyl having 1 to 2 carbon atoms and 1 to 5 halogen atoms, phenyl, and/or heterocyclyl,
for alkoxy having 1 to 7 carbon atoms optionally substituted by halogen, cycloalkyl, cyano, phenyl, and/or heterocyclyl,
for alkenyloxy having 2 to 6 carbon atoms optionally substituted by halogen, cycloalkyl, cyano, phenyl, and/or heterocyclyl,
for alkinyloxy having 2 to 6 carbon atoms optionally substituted by halogen, cycloalkyl, cyano, phenyl, and/or heterocyclyl,
for cycloalkyloxy having 3 to 7 carbon atoms optionally substituted by halogen, cycloalkyl, cyano, phenyl, and/or heterocyclyl,
for alkylamino having 1 to 7 carbon atoms optionally substituted by halogen, cycloalkyl, cyano, phenyl, and/or heterocyclyl,
for dialkylamino having 1 to 7 carbon atoms in each of the alkyl substituents optionally substituted by halogen, cycloalkyl, cyano, phenyl, and/or heterocyclyl,
for alkenylamino having 2 to 6 carbon atoms optionally substituted by halogen, cycloalkyl, cyano, phenyl, and/or heterocyclyl,
for alkinylamino having 2 to 6 carbon atoms optionally substituted by halogen, cycloalkyl, cyano, phenyl, and/or heterocyclyl,
for cycloalkylamino having 3 to 7 carbon atoms optionally substituted by halogen, cycloalkyl, cyano, phenyl, and/or heterocyclyl,
for N-cycloalkyl-N-alkylamino having 3 to 7 carbon atoms in the cycloalkyl part and 1 to 7 carbon atoms in the alkyl part, optionally substituted by halogen, cycloalkyl, cyano, phenyl, and/or heterocyclyl,
for alkylideneamino having 2 to 6 carbon atoms optionally substituted by halogen, cycloalkyl, cyano, phenyl, and/or heterocyclyl, or
for heterocyclyl having 5 or 6 ring members optionally substituted by halogen, alkyl, cycloalkyl, cyano, phenyl, and/or heterocyclyl,
where the aforesaid heterocyclyl constituents may be substituted 1 to 3 times, identically or variously,
by
halogen, hydroxy, phenyl, 1,2-dioxyethylene, alkyl having 1 to 4 carbon atoms, halogenalkyl having 1 or 2 carbon atoms, and 1 to 5 halogen atoms, alkoxy having 1 to 4 carbon atoms, alkylthio having 1 to 4 carbon atoms, halogenalkoxy having 1 or 2 carbon atoms, and 1 to 5 halogen atoms, halogen alkylthio having 1 or 2 carbon atoms and 1 to 5 halogen atoms, where the aforesaid heterocyclyl substituents are saturated or partially unsaturated,
and where the aforesaid phenyl constituents may be substituted 1 to 3 times, identically or variously,
by
halogen, cyano, nitro, amino, hydroxy, formyl, carboxy, carbamoyl, thiocarbamoyl;
in each linear or branched alkyl, alkoxyl, alkylthio, alkylsulfinyl, or alkylsulfonyl in each case having 1 to 6 carbon atoms;
in each case linear or branched alkenyl or alkenyloxy each having 2 to 6 carbon atoms;
in each case linear or branched halogen alkyl, halogen alkoxy, halogen alkylthio, in each case halogen alkylsulfinyl, or halogen alkylsulfonyl, each having 1 to 6 carbon atoms and 1 to 13 different halogen atoms;
in each case linear or branched halogen alkenyl or halogen alkenyloxy, each having 2 to 6 carbon atoms and 1 to 13 identical or different halogen atoms;
in each case linear or branched alkylamino, dialkylamino, alkylcarbonyl, alkylcarbonyloxy, alkoxycarbonyl, alkylsulfonyloxy, hydroxyiminoalkyl, or alkoxyiminoalkyl, each having 1 to 6 carbon atoms in the individual

alkyl parts;
cycloalkyl having 3 to 6 carbon atoms,
1,3-propanediyl, 1,4-butanediyl, methylenedioxy (-O-CH2-O-) or 1,2-ethylenedioxy (-O-CH2-CH2-O-) connected in the 2,3 position, whereby said substituents be substituted one of more times, identically or variously, by halogen, alkyl having 1 to 4 carbon atoms, and/or halogenalkyl having 1 to 4 carbon atoms and 1 to 9 identical or different halogen atoms.

[0010] R^2 preferably stands for hydrogen,
for alkyl having 1 to 4 carbon atoms optionally substituted by halogen, cycloalkyl having 3 to 6 carbon atoms, alkoxyl having 1 to 4 carbon atoms, alkylthio having 1 to 4 carbon atoms, oxo, hydroxyimino, and/or alkoxyimino having 1 to 4 carbon atoms,
for alkenyl having 2 to 4 carbon atoms optionally substituted by halogen and/or cycloalkyl having 3 to 6 carbon atoms,
for alkinyl having 2 to 4 carbon atoms optionally substituted by halogen and/or cycloalkyl having 3 to 6 carbon atoms, or
for cycloalkyl having 3 to 6 carbon atoms optionally substituted by halogen and/or cycloalkyl having 3 to 6 carbon atoms.

[0011] R^1 and R^2, preferably together with the nitrogen atom to which they are bonded, also stand for a 3- to 6-member heterocyclic ring, which is saturated or partially saturated, which may contain in addition to the already mentioned nitrogen atom a further hetero atom of the group comprising nitrogen, oxygen, and sulfur, and which may be substituted 1 to 3 times, identically or variously, by
halogen, hydroxy, cyano, morpholinyl, amino, an annelated phenyl ring, a methylene or ethylene bridge, alkyl having 1 to 4 carbon atoms,
halogen alkyl having 1 to 4 carbon atoms and 1 to 9 identical or different halogen atoms,
alkylcarbonylamino having 1 to 4 carbon atoms in the alkyl portion,
dialkylamino having 2 to 8 carbon atoms,
alkoxycarbonylamino having 1 to 4 carbon atoms in the alkoxy portion,
di(alkoxycarbonyl)amino having 2 to 8 carbon atoms in the alkoxy portions,
hydroxyalkyl having 1 to 4 carbon atoms,
alkoxycarbonyl having 1 to 4 carbon atoms in the alkoxy portion, and/or
alkylcarbonyl having 1 to 4 carbon atoms in the alkyl portion.

[0012] R^3 preferably stands for phenyl, which may be substituted 1 to 4 times, identically or variously,
by
halogen, cyano, nitro, amino, hydroxy, formyl, carboxy, carbamoyl, thiocarbamoyl;
in each case linear or branched alkyl, alkoxy, alkylthio, alkylsulfinyl, or alkylsulfonyl, in each case with 1 to 6 carbon atoms;
in each case linear or branched alkenyl or alkenyloxy with in each case 2 to 6 carbon atoms;
in each case linear or branched halogen alkyl, halogen alkoxy, halogen alkylthio, halogen alkylsulfinyl, or halogen alkylsulfonyl, in each case with 1 to 6 carbon atoms and 1 to 13 identical or different halogen atoms;
in each case linear or branched halogen alkenyl or halogen alkenyloxy, in each case with 2 to 6 carbon atoms and 1 to 11 identical or different halogen atoms;
in each case linear or branched alkylamino, dialkylamino, alkylcarbonyl, alkylcarbonyloxy, alkoxycarbonyl, alkylsulfonyloxy, hydroxyiminoalkyl, or alkoxyiminoalkyl, in each case with 1 to 6 carbon atoms in the individual alkyl parts;
cycloalkyl with 3 to 6 carbon atoms,
1,3-propanediyl, 1,4-butanediyl, methylenedioxy (-O-CH_2-O-) or 1,2-ethylenedioxy (-O-CH_2-CH_2-O-) connected in the 2,3 position, whereby said constituents be substituted one of more times, identically or variously, by halogen, alkyl having 1 to 4 carbon atoms, and/or halogenalkyl having 1 to 4 carbon atoms and 1 to 9 identical or different halogen atoms.

[0013] X^1 preferably stands for hydrogen, fluorine, chlorine, or bromine.

[0014] X^2 preferably stands for cyano, fluorine, bromine, iodine, nitro, formyl, halogen alkyl having 1 to 4 carbon atoms and 1 to 9 fluorine, chlorine, and/or bromine atoms, alkoxycarbonyl having 1 to 4 carbon atoms in the alkoxy portion, alkylcarbonyl having 1 to 4 carbon atoms in the alkyl portion, hydroxyiminoalkyl having 1 to 4 carbon atoms in the alkyl portion, or for alkoxyiminoalkyl having 1 to 4 carbon atoms in the alkoxy portion and 1 to 4 carbon atoms in the alkyl portion.

[0015] R^1 more preferably stands for hydroxy, amino, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, i-pentyl, 1,2-dimethylpropyl, or
R^1 more preferably stands for methoxymethyl, 2-methoxyethyl, methylthiomethyl, 2-methylthioethyl, hydroxyiminomethyl, methoxyiminomethyl, acetylmethyl, 2-hydroxyiminopropyl, 2-methoxyminopropyl, allyl, 2-methylprop-2-enyl, propargyl, 222-trifluorethyl, 1-(trifluoromethyl)-ethyl, 333-trifluoropropyl, cyclopropyloxy, cyclobutyloxy, cyclopentyloxy, cyclohexyloxy, difluoromethoxy, trifluoromethoxy, difluorochloromethoxy, trifluoroethoxy,
methylamino, ethylamino, n- or i-propylamino, n-, i-, s-, or t-butylamino, dimethylamino, diethylamino, trifluoroethylamino, cyclohexylmethylamino, 2-cyanoethylamino, allylamino, 1-cyclopropylethylamino, cyclopropylamino, cyclobutylamino, cyclopentylamino, cyclohexylamino, 1-methylethylideneamino,
for cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperindinyl, morpholinyl, thiamorpholinyl, piperazinyl, substituted 1 or 2 times, identically or variously, by fluorine, chlorine, and/or methyl, or
for optionally substituted benzyloxy, pyridylmethyloxy, or thiazoloylmethoxy,
or

R^1 preferably stands for (2,2-dichlorocyclopropyl)methyl, (2-furyl)methyl, (2-tetrahydrofuryl)methyl, (2-tetrahydropyranyl)methyl, 1,2-dimethylpropyl, 1,3-dioxolane-2-ylmethyl, 1-cyclopropylethyl, 2,2,2-trifluoro-1-methylethyl, 2,4-dichlorobenzyloxy, 2,6-dichlorobenzyloxy, 2-chlorobenzyloxy, 2-fluorocyclopropyl, 2-hexahydropyranyloxy, 2-thienylmethyl, 2-trifluoromethylcyclohexyl, 3-(dimethylamino)propyl, 3,5-bis-trifluoromethylcyclohexyl, 3,5-dichlorobenzyloxy, 3-animopropyl, 3-chlorobenzyloxy, 3-trifluoromethylbezyloxy, 3-trifluoromethylcyclohexyl, 3,5-(bis-trifluoromethyl)cyclohexyl, 2-trifluorormethylcyclohexyl, 4-trifluoromethylcyclohexyl, 4-chlorobenzyloxy, 4-fluorobenzyloxy, 4-trifluoromethylbenzyloxy, $-C(CH_3)_2-CF_3$, $-C(CH_3)_2-CH_2-COCH_3$, $-CH(CH_2OH)-COOCH_3$, $-CH(CH_3)-C(CH_3)_3$, $-CH(CH_3)-CH(O-CH_3)_2$, $-CH(CH_3)-CH=CH_2$, $-CH(CH_3)-CH_2-CH(CH_3)_2$, $-CH(CH_3)-CH_2-O-CH_3$, $-CH(CH_3)-CH_2-OH$, $-CH(CH_3)-COOCH_3$, $-CH(CH_3)-COO$-t-butyl, $-CH_2-C(CH_3)=CH_2$, $-CH_2-C(CH_3)_3$, $-CH_2-CF_3$, $-CH_2-CH(OCH_3)_2$, $-CH_2-CH_2-CF_3$, $-CH_2-CH_2-Cl$, $-CH_2-CH_2-CN$, $-CH_2-CH_2-N(CH_3)_2$, $-CH_2-CH_2-N(CH_3)_2$, $-CH_2-CH_2-NH_2$, $-CH_2-CHF_2$, $-CH_2-CN$, $-CH_2-COOC_2H_5$, $-CH_2-COOCH_3$, i-butoxy, $-NH-CH_2-CF_2-CHF_2$, $-NH-CH_2-CF_2$, $-NH-CH_2-CH(CH_3)_2$, methoxy, ethoxy, i-propoxy, t-butoxy, or $-O-CH(CH_3)-CH_2-CH_3$,

where in the case of thiazolyl the aforesaid thiazolyl- and pyridyl components may be singly or doubly and, in the case of pyridyl, singly to triply, substituted, in each case identically or variously, by fluorine, chlorine, bromine, methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, methoxy, ethoxy, n- or i-propyl, n-, i-, s- or t-butoxy, methylthio, ethylthio, n- or i-propylthio, difluoromethoxy, trifluoromethoxy, difluorochloromethoxy, trifluoroethoxy, difluoromethylthio, diflourochloromethylthio, dichlorofluoromethylthio, trifluoromethylthio, and/or phenyl,

whereby the aforesaid benzyloxy components in the phenyl portion can be substituted 1 to 3 times, identically or variously, by

fluorine, chlorine, bromine, cyano, nitro, amino, hydroxy, formyl, carboxy, carbamoyl, thiocarbamoyl, methyl, ethyl, n- or i-propyl, n-, i-, s-, or t-butyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, or ethylsulfonyl, trifluoromethyl, trifluoroethyl, trifluoromethoxy, trifluoromethoxy, difluorochloromethoxy, trifluoroethoxy, difluoromethylthio, difluorochloromethylthio, trifluoromethylthio, trifluoromethylsulfinyl, trifluoromethylsulfonyl, methylamino, ethylamino, n- or i-propylamino, dimethylamino, diethylamino, acetyl, propionyl, acetyloxy, methoxycarbonyl, ethoxycarbonyl, methylsulfonyloxy, ethylsulfonyloxy, hydroxyiminomethyl, hydroxyiminomethyl, methoxyiminomethyl, ethoxyiminomethyl, methoxyiminoethyl, ethoxyiminoethyl, cyclopropyl, cyclobutyl, cyclopentyl, or cyclohexyl, 1,3-propanediyl linked in the 2,3 position, methylenedioxy ($-O-CH_2-O-$) or 1,2-ethylenedioxy ($-O-CH_2-CH_2-O-$), where these constituents may be substituted one time or many times, identically or variously, by fluorine, chlorine, methyl, ethyl, n-propyl, i-propyl, and/or trifluoromethyl.

[0016] R^2 preferably stands for hydrogen, methyl, ethyl, n- or i-propyl, n-, i-, s.-, or t.-butyl, methoxymethyl, 2-methoxyethyl, methylthiomethyl, 2-methylthioethyl, hydroxyiminomethyl, methoxyiminomethyl, acetylmethyl, 2-hydroxyiminopropyl, 2-methoxyiminopropyl, allyl, propargyl, 2,2,2-trifluoroethyl, 1-(1,1,1-trifluoromethyl)ethyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl, or cyclohexylmethyl.

[0017] R^1 and R^2 more preferably, together with the nitrogen atom to which they are bonded, stand for 1-pyrrolinyl, 3-pyrrolinyl, pyrrolidinyl, dihydropyridinyl, piperidinyl, pyrazolinyl, pyrazolidinyl, imidazolinyl, imidazolidinyl, 1,2-diazinane-yl, 1,3-diazinane-yl, piperazinyl, oxazolinyl, oxazolidinyl, isoxazolyl, isoxazolidinyl, tetrahydropyridazinyl, dihydrooxazinyl, morpholinyl, thiazolinyl, thiazolidinyl, or thiomorpholinyl, whereby the aforesaid heterocyclic compounds may be substituted by

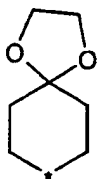
fluorine, chlorine, bromine, cyano, nitro, amino, hydroxy, formyl, carboxy, carbamoyl, thiocarbamoyl, methyl, ethyl, n- or i-propyl, n-, i-, s.-, or t.-butyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n-, or i-propylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl or ethylsulfonyl, trifluoromethyl, trifluoroethyl, difluoromethoxy, trifluoromethylsulfinyl, trifluoromethylsulfonyl, methylamino, ethylamino, n-, or i-propylamino, dimethylamino, diethylamino, acetyl, propionyl, acetyloxa, methoxycarbonyl, ethoxycarbonyl, methylsulfonyloxy, ethylsulfonyloxy, hydroxyiminomethyl, hydroxyiminoethyl, methoxyiminomethyl, ethoxyiminomethyl, methoxyiminoethyl, ethoxyiminoethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl,

by an annelated phenyl ring or

by a methanediyl or ethanediyl bridge,

or

R^1 and R^2 preferably stand together for a group of the structure



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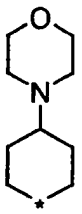

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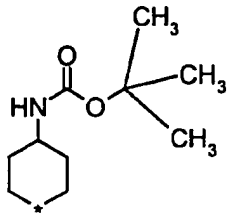

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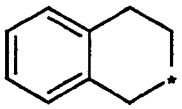

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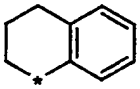

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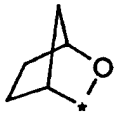

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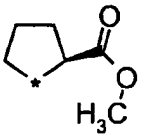

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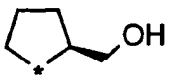

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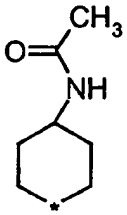

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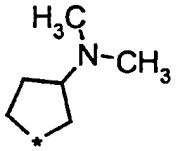


A-12

[0018] In these groups, the site connected to the nitrogen atom is marked by an *.

[0019] R^3 preferably stands for phenyl, which may be substituted 1 to 3 times, identically or differently, by fluorine, chlorine, bromine, cyano, nitro, formyl, methyl, ethyl, n- or i-propyl, n-, i-, s.-, or t.-butyl, allyl, propargyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, allyloxy, propargyloxy, trifluoromethyl, trifluoroethyl, difluoromethoxy, trifluoromethoxy, difluorochloromethoxy, trifluoroethoxy, difluoromethylthio, difluorochloromethylthio, trifluoromethylthio, trifluoromethylsulfinyl, trifluoromethylsulfonyl, trichloroethinyloxy, trifluoroethinyloxy, chloroallyloxy, iodopropargyloxy, methylamino, ethylamino, n- or i-propylamino, dimethylamino, diethylamino, acetyl, propionyl, acetyloxy, methoxycarbonyl, ethoxycarbonyl, hydroxyiminomethyl, hydroxyiminoethyl, methoxyiminomethyl, ethoxyiminomethyl, methoxyiminoethyl, ethoxyiminoethyl, cyclopropyl, cyclobutyl, cyclopentyl, or cyclohexyl,
1,3-propanediyl, methylenedioxy (-O-CH_2-O-), or 1,2-ethylenedioxy (-O-CH_2-CH_2-O-) connected in 2,3 position, where such substituents may be substituted singly or multiply, identically or differently by fluorine, chlorine, methyl, ethyl, n-propyl, i-propyl, and/or trifluoromethyl.

[0020] X^1 more preferably stands for hydrogen, fluorine, or chlorine.

[0021] X^2 more preferably stands for cyano, fluorine, chlorine, formyl, trifluoromethyl, methoxycarbonyl, methylcarbonyl, hydroximinomethyl or methoximinomethyl.

[0022] R^3 in particular preferably stands for 2,4- or 2,6-disubstituted phenyl, or for 2-substituted phenyl or for 2,4,6-trisubstituted phenyl.

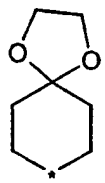
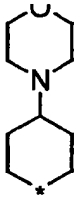
[0023] A more preferred group is comprised of compounds of structure (I) in which
R^1 stands for amino, hydroxy, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, 1,2-dimethylpropyl, 2,2-dimethylpropyl, trifluoromethyl, 2,2,2-trifluoromethyl, 2,2-difluoroethyl, 2,2,2-trifluoro-1-methylethyl, 3,3,3-tifluoropropyl,

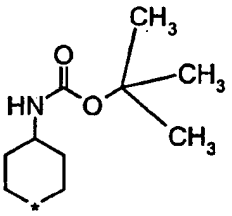
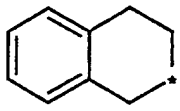
2,2,2-trifluoro-1,1-dimethylethyl, 3-methylbutyl, allyl, 2-methylprop-2-enyl, 2-methoxyethyl, 2,2-dimethoxyethyl, cyclopropyl, cyclopentyl, cyclohexyl, 2-fluorocyclopropyl, 2-trifluoromethylcylcohexyl, 3-trifluoromethylcyclohexyl, 4-trifluoromethylcyclohexyl, 3,5-ditrifluoromethylcyclohexyl, cyclopropylmethyl, dichlorocyclopropylmethyl, 1-cyclohexylethyl, 2-furylmethyl, 2-tetrahydrofurylmethyl, 2-thienylmethyl, 1,3-dioxolane-2-yl-methyl, propargyl, methoxycarbonylmethyl, ethoxycarbonylmethyl, 2-aminoethyl, 3-aminopropyl, 2-dimethylaminoethyl, cyanomethyl, 2-cyanoethyl, 2-vinyloxyethyl, pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, or piperazinyl,

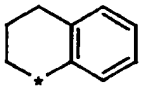
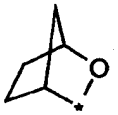
R^2 stands for hydrogen, methyl, ethyl, n- or i-propyl, n-, i-, s-, or t-butyl, allyl, propargyl, 2,2,2-trifluoroethyl, 1-(1,1,1-trifluoromethyl)ethyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl, cyclohexylmethyl, or cyclopropyl,

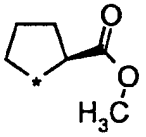
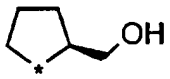
or

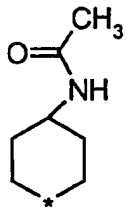
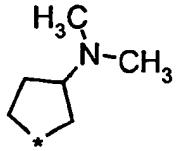
R^1 and R^2 together with the nitrogen atom to which they are bonded stand for in each case pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, piperazinyl, 5-methyl-3,6-dihydro-1-(2H)-pyridinyl, 5-ethyl-3,6-dihydro-1-(2H)-pyridinyl, or tetrahydro-1-(2H)-pyridazinyl that may optionally be substituted 1 or 2 times, identically or variously, by fluorine, chlorine, bromine, hydroxy, methyl, ethyl, trifluoromethyl, methylcarbonyl, methylcarbonylamino, or methoxycarbonyl, or for a group having the structure

A-1  , A-2 

A-3  , A-4 

A-5  , A-6  , A-7 

A-8  , A-9 

A-10  , A-11  oder A-12 

R^3 stands for a phenyl that is substituted 1 to 3 times in the 2, 4, and/or 6 position by fluorine and/or chlorine,

X^1 stands for hydrogen and chlorine, and

X^2 stands for cyano or chlorine.

[0024] The above constituent definitions can be combined with each other in any way. In addition, individual meanings can also be dropped.

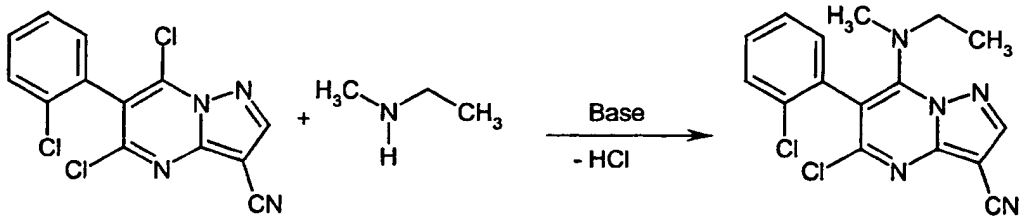
[0025] Preferred compounds of the invention are also addition products of acids and those pyrazolopyrimidines

of structure (I) in which
R^1 stands for amino, and
R^2, R^3, X^1, and X^2 have the meanings that were cited for these substituents as being preferred.

[0026] The acids that may be added preferably include halogen hydrogen acids such as hydrochloric acid and hydrobromic acid, preferably the hydrochloric acid, however phosphoric acid, nitric acid, mono and bifunctional carboxylic acids and hydroxycarboxylic acids such as acetic acid, maleic acid, succinic acid, fumaric acid, tartaric acid, citric acid, salicylic acid, sorbic acid, and lactic acid, as well as sulfonic acids, such as p-toluene sulfonic acid, 1,5-naphthalenedisulfonic acid, saccharin, and thiosaccharin.

[0027] The general substituent definitions stated above or the substituent definitions cited for preferred ranges apply to the final products of structure (I) as well as also correspondingly for the starting materials or intermediates required to prepare them.

[0028] If 3-cyano-5,7-dichloro-6-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidine and methylethylamine are used as starting materials, the course of the process of the invention (a) can be illustrated by the following structural equation.

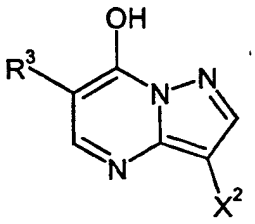


[0029] The halogen pyrazolopyrimidines required as starting materials to carry out the process of the invention (a) are generally defined by structure (II). In this structure (II) R^3, X^1, and X^2 preferably have the meanings that already have been cited as preferred for these constituents in conjunction with the description of the compounds of the invention of structure (I). Y^1 preferably stands for fluorine, chlorine, or bromine, more preferably for fluorine or chlorine.

[0030] The halogen pyrazolopyrimidines of structure (II) are novel. The substances are also suitable for combating pests, in particular to combat undesired microorganisms.

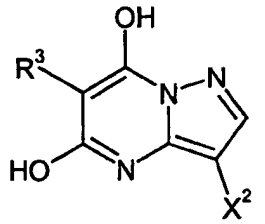
[0031] The halogen pyrazolopyrimidines of structure (II) can be prepared by

b) reacting hydroxypyrazolopyrimidines of structure



(IV)

in which
R^3 and X^2 have the meanings stated above,
with halogenation agents, optionally in the presence of a diluent,
or
c) reacting dihydroxy pyrazolopyrimidines of structure



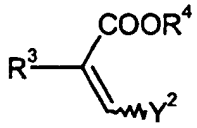
(V)

in which
R^3 and X^2 have the meanings stated above
with halogenation agents, optionally in the presence of a diluent.

[0032] The hydroxypyrazolopyrimidines needed as starting materials to perform the process of the invention (b) are defined by the structure (IV). In this structure, R^3 and X^2 preferably have the meanings that were already cited as being preferred for these constituents in conjunction with the description of the compounds of the invention of structure (I).

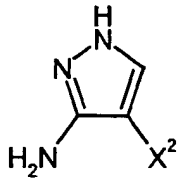
[0033] The hydroxypyrazolopyrimidines of structure (IV) likewise were not previously known. They can be prepared by

d) reacting acrylates of structure



(VI)

in which
R^3 has the meaning stated above,
R^4 stands for alkyl, and
Y^2 stands for alkoxy or dialkylamino,
with aminopyrazolene of the structure



(VII)

in which
X^2 has the meaning stated above,
optionally in the presence of a diluent and optionally in the presence of a strong base

[0034] The acrylates that are required as starting materials to perform the process of the invention (d) are generally defined by the structure (VI). In this structure, R^3 preferably has those meanings that have already been cited as being preferred for this substituent in conjunction with the description of the substances of the invention of structure (I). R^4 preferably stands for alkyl having 1 to 4 carbon atoms, more preferably for methyl or ethyl. Y^2 preferably stands for alkoxy having 1 to 4 carbon atoms or for dialkylamino having 1 to 4 carbon atoms in each alkyl group. More preferably Y^2 stands for methoxy, ethoxy, or for dimethylamino.

[0035] The acrylates of structure (VI) are known or they can be prepared using known methods (see EP-A 0 165 448).

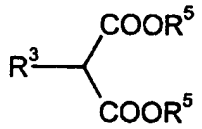
[0036] The aminopyrazoles needed as reactants to perform the process of the invention (d) are generally defined by the structure (VII). In this structure X^2 preferably has those meanings that were already cited as being preferred in conjunction with the description of the substances of the invention of structure (I) for these substituents.

[0037] The aminopyrazoles of structure (VII) are known or can be prepared using known methods (see *Tetrahedron Lett*, 21, 2029–2031 (1967); *Liebigs Ann. Chem.* 707, 141–146 (1967), and *Monatsh. Chem.* 1998, 1329 (12), 1313–1318).

[0038] The dihydroxypyrazolopyrimidines required as starting materials to perform the process of the invention (c) are generally defined by the structure (V). In this structure R^3 and X^2 preferably have those meanings that were already cited as being preferable for these substituents in conjunction with the description of the substances of the invention of structure (I).

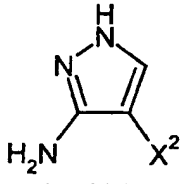
[0039] The dihydroxypyrazolopyrimidines of structure (V) were not previously known. They can be prepared by reacting

e) malonates of structure



(VIII)

in which
R^3 has the meaning stated above, and
R^5 stands for alkyl,
with aminopyrazoles of structure



(VII)

in which
X^2 has the meaning stated above

optionally in the presence of a diluent and optionally in the presence of a strong base.

[0040] The malonates required as starting materials to perform the process of the invention (e) are generally defined by the structure (VIII). In this structure, R^3 preferably has those meanings that have already been cited as being preferable for this substituent in conjunction with the description of the substances of structure (I). R^5 preferably stands for alkyl having 1 to 4 carbon atoms, more preferably for methyl or ethyl.

[0041] The malonates of structure (VIII) are known or they can be prepared using known methods (see US-A 6,156,925).

[0042] In performing the processes of the invention (d) and (e) all standard inert organic solvents can be used as the diluents. Preferably used are aliphatic, alicyclic, or aromatic hydrocarbons such as petroleum ether, hexane, heptane, cyclohexane, methylcyclohexane, benzene, toluene, xylene, or decalene; halogenated hydrocarbons such as chlorobenzene, dichlorobenzene, dichloromethane, chloroform, tetrachloromethane, dichloroethane, or trichloroethane; ethers such as diethyl ether, diisopropyl ether, methyl-t-butyl ether, methyl-t-amyl ether, dioxane, tetrahydrofuran, 1,2-dimethoxyethane, 1,2-diethoxyethane, or anisole; nitriles such as acetonitrile, propionitrile, n- or i-butyronitrile, or benzonitrile; amides such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methylformanilide, N-methylpyrrolidone, or hexamethyl phosphoric acid triamide; esters such as methyl acetate or ethyl acetate; sulfoxides such as dimethyl sulfoxide; sulfones such as sulfolane; alcohols such as methanol, ethanol, n- or i-propanol, n-, i-, sec. or tert. butanol, ethanediol, propane-1,2-diol, ethoxyethanol, methoxyethanol, diethyleneglycol monomethyl ether, diethylene glycol monoethyl ether; amines such as tri-n-butylamine or carboxylic acids such as acetic acid.

[0043] In performing the processes of the invention (d) and (e), preferably earth alkali metal or alkali metal hydrides or alcoholates as well as alkali metal amides are used as the strong basis. Typical examples are sodium hydride, sodium amide, sodium methylate, sodium ethylate, and potassium tert.-butylate.

[0044] In performing the processes of the invention (d) and (e) as well as in performing the other processes of the invention, work is generally performed at atmospheric pressure. However, it is also possible to work at elevated pressure or, if no highly volatile reaction components are present—under vacuum.

[0045] The reaction temperatures may be varied in performing the processes of the invention (d) and (e) in each case within a relatively wide range. In the absence of bases, temperatures between 100°C and 250°C are generally used, preferably between 120°C and 200°C. In the presence of bases, temperatures between 20°C and 120°C are generally used, preferably between 20°C and 80°C.

[0046] In performing the process of the invention (d) for one mole acrylate of structure (VI) generally 1 to 15 moles, preferably 1 to 8 moles, aminopyrazole of structure (VII) is used. The procedure follows customary methods.

[0047] In performing the process of the invention (e) generally 1 to 15 moles, preferably 1 to 8 moles, of aminopyrazole of structure (VII) is used per one mole of malonate of structure (VIII). The customary methods are used in the process.

[0048] All customary reagents that are suitable for replacing hydroxy groups that are bonded to carbon with halogen may be used as the halogenation agents in performing the processes of the invention (b) and (c). Preferably used are phosphorus trichloride, phosphorus tribromide, phosphorus pentachloride, phosphorus oxychloride, phosgene, thionylchloride, thionylbromide, or mixtures thereof. The corresponding fluorine compounds of structure (II) may be prepared from the chlorine or bromine compounds by reaction with potassium fluoride.

[0049] In performing the processes of the invention (b) and (c) the customary organic solvents used in such halogenations may be used as the diluent. Preferably used are aliphatic, alicyclic, or aromatic hydrocarbons such as petroleum ether, hexane, heptane, cyclohexane, methylcyclohexane, benzene, toluene, xylene, or decalene; halogenated hydrocarbons such as chlorobenzene, dichlorobenzene, dichloromethane, chloroform, tetrachloromethane, dichloroethane, or trichloroethane.

[0050] However the halogenation agent itself or a mixture of the halogenation agent and one of the cited diluents may be used as the diluent.

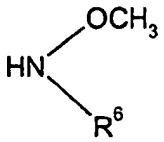
[0051] The reaction temperatures may be varied in performing the processes of the invention (b) and (c) within a relatively wide range. In general, temperatures between 20°C and 150°C are used, preferably between 40°C and 120°C.

[0052] In performing the process of the invention (b) and (c) an excess of halogenation agent is used per one mole of hydroxypyrazolopyrimidine of structure (N) or with dihydroxypyrazololpyrimidine of structure (V). The process follows conventional methods.

[0053] The amines required as starting materials to perform the process of the invention (a) are generally defined by structure (III). In this structure, R^1 and R^2 preferably have the meanings that were already cited as being preferred in conjunction with the description of the compounds of the invention of structure (I) for R^1 and R^2.

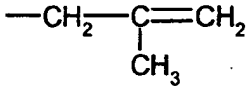
[0054] The amines of structure (III) are partially known.

[0055] The amines of structure



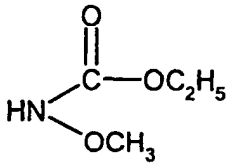
(IIIa)

in which
R^6 stands for isobutyl, 2-methoxyethyl, or for



[0056] The amines of structure (IIIa) can be prepared by reacting

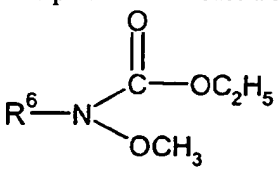
f) in a first step N-methoxycarbamic acid ethyl esters of structure



(IX)

with halogen compounds having the structure
R^6-X^3 (X)

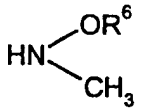
in which R^6 has the meanings stated above, and
X^3 stands for bromine or iodine,
in the presence of a base and in the presence of a diluent, and the resulting carbamates of the structure



(XI)

in which
R^6 has the meaning stated above,
are reacted in a second step with potassium hydroxide in the presence of ethanol and water.

[0057] The amines of structure

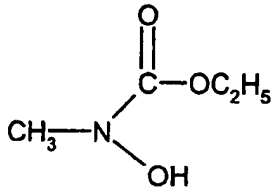


(IIIb)

in which
R^6 has the meaning stated above,
are novel.

[0058] The amines of structure (IIIb) can be prepared by reacting

g) in a first step N-hydroxy-N-methylcarbamic acid ethyl ester of the structure



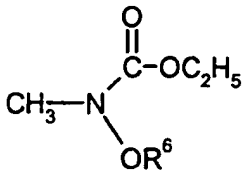
(XII)

with halogen compounds of the structure

R^6-X^4 (X)

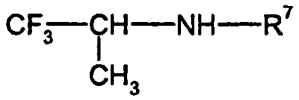
in which

R^6 and X^3 have the meanings stated above
in the presence of a base and in the presence of a diluent and the resulting carbamates of structure



(XIII)

in which
R^6 has the meanings stated above,
are reacted in a second step with potassium hydroxide in the presence of ethanol and water.
Trifluoroisopropyl amines having the structure

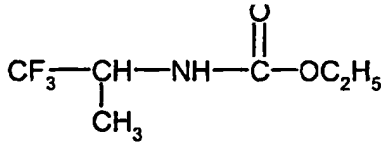


(IIIc)

in which
R^7 stands for methyl, ethyl, or propyl, are novel.

[0059] The trifluoroisopropyl amines of structure (IIIc) can be prepared by reacting

h) in a first step N-trifluoroisopropylcarbamic acid ethyl ester having the structure

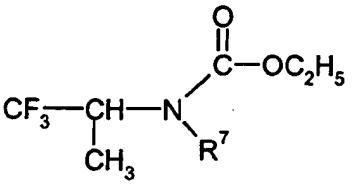


(XIV)

with halogen compounds having the structure

R^7-X^3 (XV)

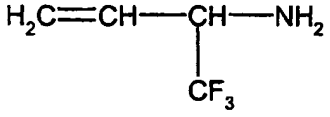
in which
R^7 and X^3 have the meanings stated above,
in the presence of a base and in the presence of a diluent, and the resulting carbamates having the structure



(XVI)

in which
R^7 has the meanings stated above,
are reacted in a second step with potassium hydroxide in the presence of ethanol and water.

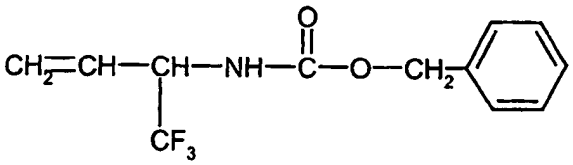
[0060] Finally, the 3-trifluoromethyl-3-amino-propene of structure is also novel.



(III-4)

[0061] The 3-trifluoromethyl-3-aminopropene of structure (III-4) can be prepared by reacting

i) the carbamate having structure



(XVII)

with aqueous hydrochloric acid.

[0062] The compounds of structures (IX), (X), (XII), (XIV), (XV), and (XVII) required as starting materials to perform processes (f)–(h) are known or they can be prepared using known methods.

[0063] In performing the first step of the processes of the invention (f), (g), and (h), all customary inorganic and organic acid receptors used for such reactions may be utilized.

[0064] Preferably used are earth alkaline metals or alkaline metal hydrides, hydroxides, amides, alcoholates, acetates, carbonates, or hydrogen carbonates, for example sodium hydride, sodium amide, sodium methylate, sodium ethylate, potassium tert. butylate, sodium hydroxide, potassium hydroxide, sodium acetate, potassium acetate, calcium acetate, sodium carbonate, potassium carbonate, potassium hydrogen carbonate, and sodium hydrogen carbonate, and also ammonium compounds such as ammonium hydroxide, ammonium acetate, and ammonium carbonate. The following may be used as organic bases: tertiary amines such as trimethylamine, triethylamine, tributylamine, N,N-dimethylaniline, N,N-dimethylbenzylamine, pyridine, N-methylpiperidine, N-methylmorpholine, N,N-dimethylaminopyridine, diazabicyclooctane (DABCO), dizabicyclononene (DBN), diazabicycloundecene (DBU).

[0065] In performing the first step of the processes (f), (g) and (h) all conventional inert organic solvents may be used as the diluents. Preferred are ethers such as diethyl ether, dioxane, tetrahydrofuran, 1,2-dimethoxyethane, 1,2-diethoxyethane, or anisole; amides such as N,N-dimethylformamide, N,N-dimethyl acetamide, N-methylformanilide, or N-methylpyrrolidone; sulfones such as sulfolane; alcohols such as methanol, ethanol, isopropanol, tert-butanol, n-butanol.

[0066] The reaction temperatures may be varied within a relatively wide range in performing the first step of processes (f), (g), and (h). In general, temperatures between 0°C and 150°C are used, preferably between 10°C and 100°C.

[0067] In performing the first step of the processes (f), (g), and (h), one generally works at atmospheric pressure. However, it is also possible to work at elevated pressure or, if no low-boiling-point components are involved in the reaction, under vacuum.

[0068] In performing the first step of the processes (f), (g), and (h) the following are used:

– to 1 mole N-methoxycarbamic acid ethyl ester of structure (IX) in general 0.5 to 1.5 mole, preferably 1 to 5 mole of the halogen compound of structure (X), or
– to 1 mole of N-hydroxy-N-methylcarbamic acid ethyl ester of structure (XII) generally 0.5 to 15 moles, preferably 1 to 5 moles, halogen compound of structure (X), or
– to 1 mole N-trifluoroisopropylcarbamic acid ethylester of structure (XIV) generally 0.5 to 15 moles, preferably 1 to 5 moles, of the halogen compound of structure (XV).

[0069] The process uses conventional methods, for example extraction and subsequent drying or precipitation with subsequent filtration and drying. Any impurities that remain may be removed using conventional methods.

[0070] The compounds of structures (XI), (XIII), and (XVI) obtained as intermediates in performing the first step of processes (f), (g), and (h) are novel.

[0071] The reaction temperatures can also be varied within a wide range in performing the second step of processes (f), (g), and (h). In general, temperatures between 0°C and 100°C are used, preferably between 10°C and 80°C.

[0072] In performing the second step of processes (f), (g), and (h), work also is generally performed at atmospheric pressure. However, once again, it is also possible to work at elevated pressure or, if the products to be isolated do not have any very low boiling points, under vacuum.

[0073] In performing the second step of processes (f), (g), and (h), up to 10 moles of potassium hydroxide are used per 1 mole of a compound of structures (XI), (XIII), or (XVI). The procedure uses the customary methods. The amines are generally isolated in the form of their salts by adding acid, preferably aqueous hydrochloric acid.

[0074] In performing the process (i), the reaction temperatures may likewise be varied within a wide range. In general, work is performed at temperatures between 10°C and 150°C, preferably at the reflux temperature.

[0075] In general, process (i) is performed at atmospheric pressure. However it is also possible to work at elevated pressures.

[0076] In performing process (i) an excess amount of aqueous hydrochloric acid is used, preferably up to 10 moles, per 1 mole of the carbamate of the structure (XVLI). Once again, the process utilizes customary methods.

[0077] In performing the process of the invention (a), all conventional inert organic solvents may be used as the diluent. Preferably used are aliphatic, alicyclic, or aromatic hydrocarbons, such as petroleum ether, hexane, heptane, cyclohexane, methylcyclohexane, benzene, toluene, xylene, or decalene; halogenated hydrocarbons such as chlorobenzene, dichlorobenzene, chloromethane, chloroform, tetrachloromethane, dichloroethane, or trichloroethane; ethers such as diethyl

ether, diisopropyl ether, methyl-t-butyl ether, dioxane, tetrahydrofuran, 1,2-dimethoxyethane, or 1,2-diethoxyethane; amides such as N,N-dimethylformamide, N,N-dimethylacetamide, or N-methylpyrrolidone; esters such as methyl acetate, or ethyl acetate, sulfoxides such as dimethyl sulfoxide; sulfones such as sulfolane are used.

[0078] As catalysts used in performing the process of the invention, all customary reaction accelerators used for such reactions are possible. Preferably used are alkali metal fluorides such as potassium fluoride or cesium fluoride.

[0079] As acid receptors, all customary substances used to tie up acids in such reactions may be used to perform the process of the invention (a). Preferable for use are ammonia and tertiary amines such as trimethylamine, triethylamine, tributylamine, N,N-dimethylaniline, N,N-dimethylbenzylamine, pyridine, N-methylpiperidine, N-methylmorpholine, N,N-dimethylaminopyridine, diazabicyclooctane (DABCO), diazabicyclononene (DBN), or diazabicycloundecene (DBU).

[0080] The reaction temperatures may be varied within a wide range in performing the process of the invention (a). In general, work is performed at temperatures between 0°C and 150°C, more preferably at temperatures between 0°C and 80°C.

[0081] In performing the process of the invention (a), in general 0.5 to 10 moles, preferably 0.8 to 2 moles of an amine of structure (III) is used per 1 mole of halogen pyrazolopyrimidine to perform the process of the invention (a). Processing is performed using customary methods.

[0082] To prepare the acid addition salts of the pyrazolopyrimidines of structure (I), preferably those acids that have been cited as preferred acids are preferably used to prepare the acid addition salts of the pyrazolopyrimidines of formula (I).

[0083] The acid addition salts of the compounds of structure (I) can be obtained easily using conventional salt-forming methods, for example by dissolving a compound of structure (I) in a suitable inert solvent and adding the acid, for example hydrochloric acid, and can be isolated in a known manner, for example by means of filtration, if desired by rinsing with an inert organic solvent.

[0084] The active ingredients of the invention are suitable with good plant compatibility and favorable toxicity relative to warm-blooded animals to fight pests on animals, specifically insects, arachnids, and nematodes that are found in agriculture, in forests, in warehouses and material protection areas, as well as in the hygiene sector.

[0085] They may preferably be used as crop protection agents. They are effective against normal sensitive and resistant species as well as for all stages of development or for individual stages of development. The above pests include:

from the order isopoda, for example, Oniscus asellus, Armadillidium vulgare, Porcellio scaber.

From the order diplopoda, for example, Blaniulus guttulatus.

From the order chilopoda, for example, Geophilus carpophagus, Scutigera spp.

From the order symphyla, for example, Scutigerella immaculata.

From the order thysanura, for example, Lepisma saccharina.

From the order collembola, for example Onychiurus armatus.

From the order orthoptera, for example, Acheta domesticus, Gryllotalpa spp., Locusta migratoria migratorioides, Melanoplus spp., Schistocerca gregaria.

From the order blattaria, for example, Blatta orientalis, Periplaneta americana, Leucophaea maderae, Blatella germanica.

From the order dermaptera, for example Forficula auricularia.

From the order isoptera, for example, Reticulitermes spp.

From the order phthiraptera, for example, Pediculus humanus corporis, Haematopinus spp., Linognathus spp., Trichodectes spp., Damalinia spp.

From the order thysanoptera, for example Hercinothrips femoralis, Thrips tabaci, Thrips palmi, Frankliniella accidentalis.

From the order heteroptera, for example, Eurygaster spp., Dysdercus intermedius, Piesma quadrata, Cimex lectularius, Rhodnius prolixus, Triatoma spp.

From the order homoptera, for example, Aleurodes brassicae, Bemisia tabaci, Trialeurodes vaporariorum, Aphis gossypii, Brevicoryne brassicae, Cryptomyzus ribis, Aphis fabae, Aphis pomi, Eriosoma lanigerum, Hyalopterus arundinis, Phyloxera vastatrix, Pemphigus spp., Macrosiphum avenae, Myzus spp., Phorodon humuli, Rhopalosium padi, Empoasca spp., Euscelis bilobatus, Nephotettix cincticeps, Lecanium corni, Saissetia oleae, Laodelphax striatellus, Nilaparvata lugens, Aonidiella aurantii, Aspidiotus hederae, Pseudococcus spp., Psylla spp.

From the order lepidoptera, for example, Pectinophora gossypiella, Bupalus piniarius, Cheimatobia brumata, Lithocolletis blancardella, Hyponomeuta padella, Plutella xylostella, Malacosoma neustria, Euprocitis chrysorrhoea, Lymantria spp., Bucculatrix thurberiella, Phyllocnistis citrella, Agrotis spp., Euxoa spp., Feltia spp., Earias insulana, Heliothis spp., Mamestra brassicae, Panolis flammea, Spodoptera spp., Trichoplusia ni, Carpocapsa pomonella, Pieris spp., Chilo spp., Pyrausta nubilalis, Ephestia kuehniella, Galleria mellonella, Tineola bisselliella, Tinea pellionella, Hofinannophila pseudospretella, Cacoecia podana, Capua reticulana, Choristoneura fumiferana, Clysia ambiguella, Homona magnanima, Tortrix viridana, Cnaphalocerus spp., Oulema oryzae.

From the order coleoptera, for example, Anobium punctatum, Rhizopertha dominica, Bruchidus obtectus, Acanthoscelides obtectus, Hylotrupes bajulus, Agelastica alni, Leptinotarsa decemlineata, Phaedon cochleariae, Diabrotica spp., Psyliodes chrysocephala, Epilachna varivestis, Atomaria spp., Oryzaephilus surinamensis, Anthonomus spp., Sitophilus spp., Otiorrhynchus sulcatus, Cosmopolites sordidus, Ceuthorrhynchus assimilis, Hypera postica, Dermestes spp., Trogoderma spp., Anthrenus spp., Attagenus spp., Lyctus spp., Meligethes aeneus, Ptinus spp., Niptus hololeucus, Gibbium psylloides, Tribolium spp., Tenebrio molitor, Agriotes spp., Conoderus spp., Melolontha melolontha, Amphimallon solstitialis, Costelytra zealandica, Lissorhoptrus oryzophilus.

From the order hymenoptera, for example, Diprion spp., Hoplocampa spp., Lasius spp., Momomorium pharaonis, Vespa spp.

From the order diptera, for example, Aedes spp., Anopheles spp., Culex spp., Drosophilia melanogaster, Musca spp.,

Frania spp., Calliphora erythrocephala, Lucilia spp., Chrysomyia spp., Cuterebra spp., Gastrophilus spp., Hyppobosca spp., Stomoxys spp., Oestrus spp., Hypoderma spp., Tabanus spp., Tannia spp., Bibio hortulanus, Oscinella frit, Phorbia spp., Pegomyia hyoscyami, Ceratitis capitata, Dacus oleae, Tipula paludosa, Hylemyia spp., Liriomyza spp.
From the order siphonaptera, for example, Xenopsylla cheopis, Ceratophyllus spp.
From the class arachnida, for example, Scorpio maurus, Latrodectus mactans, Acarus siro, Argas spp., Ornithodoros spp., Dermanyssus gallinae, Eriphyes ribis, Phyllocoptruta oleivora, Boophilus spp., Rhipicephalus spp., Amblyomma spp., Hyalomma spp., Ixodes spp., Psoroptes spp., Chorioptes spp., Sarcoptes spp., Tarsonemus spp., Bryobia praetiosa, Panonychus spp., Tetranychus spp., Hemitarsonemus spp., Brevipalpus spp.

[0086] The nematodes that are parasites on plants include, for example, Pratylenchus spp., Radopholus similis, Ditylenchus dipsaci, Tylenchulus semipenetrans, Heterodera spp., Globodera spp., Meloidogyne spp., Aphelenchoides spp., Longidorus spp., Xiphinema spp., Trichodorus spp., Bursaphelenchus spp.

[0087] The active ingredients may be used with particularly good success to fight insects that damage plants, for example to combat the larvae of the diamondback moth (Plutella maculipennis).

[0088] The substances of the invention have a very strong microbicidal effect and can be used to combat undesired microorganisms such as fungi and bacteria, in crop protection and in material protection.

[0089] Fungicides can be used in crop protection to combat Plasmodiophoromycetes, Oomycetes, Chytridiomycetes, Zygomycetes, Ascomycetes, Basidiomycetes, and Deuteromycetes.

[0090] Bactericides can be used in crop protection to combat Pseudomonadaceae, Rhizobiaceae, Enterobacteriaceae, Corynebacteriaceae, and Streptomycetaceae.

[0091] By way of example but not limited to the following are some pathogens that cause fungal and bacterial diseases and that fall under the general classifications listed above:
xantomonas species, for example Xanthomonas campestris pv. oryzae;
pseudomonas species, for example, Pseudomonas syringae pv. lachrymans;
erwinia species, for example, Erwinia amylovora;
phythium species, for example, Pythium ultimum;
phytophthora species, for example, Phytophthora infestans;
Pseudoperonospora species, for example, Pseudoperonospora humuli, or Pseudoperonospora cubensis;
plasmopara species, for example, Plasmopara viticola;
bremia species, for example, Bremia lactucae;
peronospora species, for example, Peronospora pisi, or P. brassicae;
erysiphe species, for example, Erysiphe graminis;
sphaerotheca species, for example, Sphaerotheca fuliginea;
podosphaera species, for example, Podosphaera leucotricha;
venturia species, for example, Venturia inaequalis;
pyrenophora species, for example, Pyrenophora teres, or P. graminea (conidial form: Drechslera, syn: Helminthosporium);
cochliobolus speicies, for example, Cochliobolus sativus (conidial form: Drechslera, syn: Helminthosporium);
uromyces species, for example, Uromyces appendiculatus;
puccinia species, for example, Puccinia recondita;
sclerotinia species, for example, Sclerotinia sclerotiorum;
tilletia species, for example Tilletia caries;
ustilago species, for example, Ustilago nuda, or Ustilago avenae;
pellicularia species, for example Pellicularia sasakii;
pyricularia species, for example Pyricularia Oryzae;
fusarium species, for example, Fusarium culmorum;
botrytis species, for example, Botrytis cinerea;
septoria species, for example, Septoria nodorum;
leptosphaeria species, for example Leptosphaeria nodorum;
cercospora species, for example Cercospora canescens;
alternaria species, for example Alternaria brassicae;
pseudocercosporella species, for example, Pseudocercosporella herptotrichoides.

[0092] The active ingredients of the invention also have an excellent strengthening effect on plants. They are therefore suitable for mobilizing the plant's own resistance to attacks from undesired microorganisms.

[0093] In the present context, plant-strengthening (resistance-inducing) substances are those that are able to stimulate the defense system of plants in such a way that, when the treated plants are subsequently inoculated with undesirable microorganisms, they largely develop a resistance to these microorganisms.

[0094] Among undesirable microorganisms in the present case are phytopathogenic fungi, bacteria, and viruses. The substances of the invention may also be used to protect plants for a certain time after treatment from being attacked by the aforesaid pathogens. The time during which the protection is provided generally is from 1 to 10 days, preferably from 1 to 7 days after the plants have been treated with the active ingredients.

[0095] The good compatibility of the active ingredients with plants at the concentrations needed to combat plant diseases allows the parts of plants that are above the ground, the part of the plant that is harvested, its seeds, and the soil to be treated.

[0096] The active ingredients of the invention can be used with particularly good success to combat diseases that affect grains, for example to combat Fusarium types, to combat diseases in the cultivation of wine grapes, fruits and vegetables,

for example to combat Botrytis, Venturia, and Alternaria species, or to combat diseases that affect rice, such as Pyricularia species.

[0097] The active ingredients of the invention are also suitable for increasing crop yield. Furthermore, they are of low toxicity and have good plant compatibility.

[0098] The active ingredients of the invention can also be used in certain concentrations and application rates as herbicides and to affect plant growth. In some circumstances they can also be used as intermediates and precursors for the synthesis of additional active ingredients.

[0099] Plants and plant parts can be treated with the active ingredients of the invention. Here, plants are understood to mean all plants and plant populations, such as desirable and undesirable wild or cultivated plants (including cultivated plants that occur as volunteers). Cultivated plants may be plants that can be obtained through conventional breeding and optimization methods or through biotechnological and gene technological methods or combinations of these methods, including transgenic plants and including plant types that can be or cannot be protected through plant type rights. Plant parts are understood to mean all parts and organs of the plant that exist above and below ground, such as shoots, leaves, blossoms, and roots, typical examples being leaves, needles, branches, stems, blossoms, fruiting bodies, fruits and seeds, as well as roots, tubers, and rhizomes. Plant parts also include parts that are harvested, as well as vegetative and generative reproduction material, for example slips, tubers, rhizomes, cuttings, and seeds.

[0100] Treatment of the plants and plant parts with the active ingredients of the invention is carried out directly or by affecting their environment, living space, or storage space using conventional treatment methods such as dipping, spraying, gassing, fogging, dusting, brushing, and in the case of reproductive material, in particular seeds, by encapsulating in one or more layers.

[0101] In the area of material protection, the substances of the invention can be used to protect industrial materials from attack and destruction by undesirable microorganisms.

[0102] Industrial materials are understood in the present context to mean non-living materials that are prepared for use in industrial applications. This includes, for example, industrial materials that are to be protected by the active ingredients of the invention from microbial change or destruction, adhesives, glues, paper and cardboard, textiles, leather, wood, coatings and plastic articles, lubricants, and other materials that can be attacked or decomposed by microorganisms. Included in the materials to be protected are also parts of production systems, for example cooling water circuits that could be adversely affected by the reproduction of microorganisms. In the context of the present invention, examples of industrial materials that may preferably be cited are adhesives, glues, papers, cardboards, leather, wood, coatings, lubricants, and heat transfer fluids, more preferably wood.

[0103] Examples of organisms that can cause a decomposition or a change in industrial materials are bacteria, fungi, yeasts, algae, and slime molds. Preferably, the active ingredients of the invention are effective in treating fungi, in particular mildew, wood-discoloring and wood-destroying fungi (basidiomycetes) as well as slime molds and algae.

[0104] For example, microorganisms of the following genera may be cited:

alternaria, such as Alternaria tenuis
aspergillus, such as Aspergillus niger,
chaetomium, such as Chaetomium globosum,
coniophora, such as Coniophora puetana,
lentinus, such as Lentinus tigrinus,
penicillium, such as Penicillium glaucum,
polyporus, such as Polyporus versicolor,
aureobasidium, such as Aureobasidium pullulans,
sclerophoma, such as Sclerophoma pityophila,
trichoderma, such as Trichoderma viride,
escherichia, such as Escherichia coli,
pseudomonas, such as Pseudomonas aeruginosa,
staphylococcus, such as Staphylococcus aureus.

[0105] Depending on their given physical and/or chemical properties, the active ingredients can be converted to conventional formulations, such as solutions, emulsions, suspensions, powders, foams, pastes, granulates, aerosols, microencapsulations in polymeric substances and encapsulation compounds for seeds, as well as ULV [ultra-low volume] cold and hot fogging formulations.

[0106] These formulations are prepared in known ways, for example by mixing the active ingredients with extenders, in other words liquid solvents, gases liquified under pressure, and/or solid carriers, optionally using surfactants, in other words emulsifiers and/or dispersants, and/or foam-generating agents. When water is used as the extender, organic solvents, for example, can be used as auxiliary solvents. Primarily, the following may be used as liquid solvents: aromatic substances, such as xylene, toluene, or alkylnaphthalenes, chlorinated aromatic substances, or chlorinated aliphatic hydrocarbons, such as chlorobenzenes, chloroethylenes, or methylene chloride, aliphatic hydrocarbons, such as cyclohexane or paraffins, for example petroleum fractions, alcohols, such as butanol or glycol, as well as their ethers and esters, ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone, or cyclohexanone, highly polar solvents such as dimethyl formamide, and dimethyl sulfoxide, as well as water. Liquified gas extenders or carriers are liquids which are gaseous at normal temperature and pressure, such as aerosol propellant gases like halogenated hydrocarbons, as well as butane, propane, nitrogen, and carbon-dioxide. Solid carriers that may be used are, for example, natural mineral powders, such as kaolins, clays, talcum, chalk, quartz, attapulgite, montmorillonite, or diatomaceous earth, and synthetic mineral powders, such as highly dispersed silicic acid, aluminum oxide, and silicates. Solid carriers for granulates are, for example: crushed or fractionated natural minerals such as calcite, marble, pumice, sepiolite, dolomite, as well as synthetic granulates of organic

and inorganic powders and granulates of organic materials such as sawdust, copra, corn cobs, and tobacco stems. Emulsifiers and/or foam-generating agents are, for example: non-ionogenic and anionic emulsifiers, such as polyoxyethylene fatty acid esters, polyoxyethylene fatty alcohol ethers, for example alkylaryl polyglycol ethers, alkyl sulfonates, alkyl sulfates, aryl sulfonates, as well as protein hydrolysates. Examples of dispersants that may be used are: lignin sulfite waste liquors, and methyl cellulose.

[0107] Adhesion-improving agents can be used in the formulations, such as carboxymethyl cellulose, natural and synthetic powdered, granular, or latex-like polymers, such as gum arabic, polyvinyl alcohol, polyvinyl acetate, as well as natural phospholipids, such as cephalines, and lecithins, and synthetic phospholipids. Additional additives can include mineral and vegetable oils.

[0108] Colorants may be used, such as inorganic pigments, for example iron oxide, titanium oxide, ferrocyanide blue, and organic colorants, such as alizarin, azo, and metal phthalocyanine colorants and trace nutrients, such as salts of iron, manganese, boron, copper, cobalt, molybdenum, and zinc.

[0109] The formulations generally contain between 0.1 and 95 weight percent active ingredient, preferably between 5 and 90%.

[0110] The active ingredients can be used as such, or in their formulations also mixed with prior-art fungicides, bactericides, acaricides, nematicides, or insecticides, for example to broaden the effective spectrum or to prevent the development of resistance. In many cases, this results in synergistic effects, in other words the effectiveness of the mixture is greater than the effectiveness of the individual components.

[0111] The following compounds are examples of mixing partners:

Fungicides

Aldimorph, ampropylfos, ampropylfos potassium, andoprim, anilazin, azaconazol, azoxystrobin,
benalaxyl, benodanil, benomyl, benzamacril, benzamacryl isobutyl, bialaphos, binapacryl, biphenyl, bitertanol, blasticidin-S, bromuconazole, bupirimat, buthiobat,
calcium polysulfide, carpropamid, capsimycin, captafol, captan, carbendazim, carboxin, carvon, chinomethionate (quinomethionate), chlobenthiazon, chlorofenazol, chloroneb, chloropicrin, chlorothalonil, chlozolinat, clozylacon, cufraneb, cymoxanil, cyproconazole, cyprodinil, cyprofuram,
debacarb, dichlorophene, diclobutrazol, diclofluanid, diclomezine, dicloran, diethofencarb, difenoconazole, dimethirimol, dimethomorph, diniconazole, diniconazol-M, dinocap, diphenylamine, dipyrithione, ditalimfos, dithianon, dodemorph, dodine, drazoxolon,
ediphenphos, epoxiconazole, etaconazole, ethirimol, etridiazol,
famoxadon, fenapanil, fenarimol, fenbuconazole, fenftnram, fenhexamide, fenitropan, fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxyde, ferbam, ferimzon, fluazinam, flumetover, fluoromide, fluquinconazole, flurprimidol, flusilazol, flusulfamide, flutolanil, flutriafol, folpet, fosetyl-aluminum, fosetyl-sodium, fthalide, fuberidazol, furalaxyl, furametpyr, furcarbonil, furconazole, furconazole-cis, furmecyclox,
guazatine,
hexachlorobenzene, hexaconazole, hymexazol,
imazalil, imibenconazole, iminoctadine, iminoctadine albesilate, iminoctadine triacetate, iodocarb, ipconazole, iprobenfos (IBP, iprodione, iprovalicarb, irumamycin, isoprothiolan, isovaledione,
kasugamycin, kresoxim methyl, copper compounds, such as: copper hydroxide, copper naphthenate, copper oxychloride, copper sulfate, copper oxide, oxin copper and Bordeaux mixture,
mancopper, mancozeb, maneb, meferimzone, mepanipyrim, mepronil, metalaxyl, metconazole, methasulfocarb, metrifuroxam, metiram, metomeclam, metsulfovax, mildiomycin, myclobutanil, myclozolin,
nickel dimethyldithio carbamate, nitrothal isopropyl, nuarimol,
ofurace, oxadixyl, oxamocarb, oxolinic acid, oxycarboxim, oxyfenthiin,
paclobutrazol, pefurazoate, penconazol, pencycuron, phosdiphen, picoxystrobin, pimaricin, piperalin, polyoxin, polyoxorim, probenazol, prochloraz, procymidon, propamocarb, propanosine sodium, propiconazole, propineb, pyraclostrobin, pyrazophos, pyrifenox, pyrimethanil, pyroquilon, pyroxyfur,
quinconazole, quintozen (PCNB), quinoxyfen,
sulfur and sulfur products, spiroxamine,
tebuconazole, tecloftalam, tecnazen, tetcyclacis, tetraconazole, thiabendazol, thicyofen, thifluzamide, thiophanate methyl, thiram, tioxymide, tolclofos methyl, tolylfluanide, triadimefon, triadimenol, triazbutil, triazoxide, trichlamide, tricyclazol, tridemorph, trifloxystrobin, triflumizol, triforin, triticonazole,
uniconazole,
validamycin A, vinclozolin, viniconazole,
zarilamide, zineb, ziram as well as
Dagger G
OK-8705
OK-8801
α-(1,1-dimethylethyl)-β-(2-phenoxyethyl)-1H-1,2,4-triazol-1-ethanol,
α-(2,4-dichlorophenyl)-β-fluoro-b-propyl-1H-1,2,4-triazol-1-ethanol
α-(2,4-dichlorophenyl)-β-methoxy-a-methyl-1H-1,2,4-triazol-1-ethanol,
α-(5-methyl-1,3-dioxane-5-yl)-β-[[4-(trifluoromethyl)-phenyl]-methylene]-1H-1,2,4-triazol-1-ethanol,
(5RS,6RS)-6-hydroxy-2,2,7,7-tetramethyl-5-(1H-1,2,4-triazol-1-yl)-3-octanone,
(E)-a-(methoxyimino)-N-methyl-2-phenoxy phenylacetamide,
1-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-yl)-ethanone-O-(phenylmethyl)-oxime,

1-(2-methyl-1-naphthalenyl)-1H-pyrrol-2,5-dione,
1-(3,5-dichlorophenyl)-3-(2-propenyl)-2,5-pyrrolidindione,
1-[(diiodomethyl)-sulfonyl]-4-methylbenzene,
1-[[2-(2,4-dichlorophenyl)-1,3-dioxolane-2-yl]-methyl-1H-imidazole,
1-[[2-(4-chlorophenyl)-3-phenyloxiranyl]-methyl]-1H-1,2,4-triazole,
1-[1-[2-[(2,4-dichlorophenyl)-methoxy]-phenyl]-ethenyl]-1H-imidazole,
1-methyl-5-nonyl-2-(phenylmethyl)-3-pyrrolidinol,
2',6'-dibromo-2-methyl-4'-trifluoromethoxy-4'-trifluoromethyl-1,3-thiazole-5-carboxanilide,
2,6-dichloro-5-(methylthio)-4-pyrimidinyl thiocyanate,
2,6-dichloro-N-(4-trifluoromethylbenzyl)-benzamide,
2,6-dichloro-N-[[4-(trifluoromethyl)-phenyl]-methyl]-benzamide
2-(2,3,3,-triiod-2-propenyl)-2H-tetrazole,
2-[(1-methylethyl)-sulfonyl]-5-(trichloromethyl)-1,3,4-thiadiazole,
2-[[6-deoxy-4-O-(4-O-methyl-β-D-glycopyranosyl)-a-D-glucopyranosyl]-amino]-4-methoxy-1H-pyrrolo[2,3-d]pyrimidine-5-carbonitirile,
2-aminobutane,
2-bromo-2-(bromomethyl)-pentanedinitrile,
2-chloro-N-(2,3-dihydro-1,1,3-trimethyl-1H-indene-4-yl)-3-pyridine carboxamide,
2-chloro-N-(2,6-dimethylphenyl)-N-(isothiocyanatomethyl)-acetamide,
2-phenylphenol(OPP),
3,4-dichloro-1-[4-(difluoromethoxy)-phenyl]-1H-pyrrol-2,5-dione,
3,5-dichloro-N-[cyano[(1-methyl-2-propynyl)-oxy]-methyl]-benzamide,
3-(1,1-dimethylpropyl-1-oxo-1H-indene-2-carbonitrile,
3-[2-(4-chlorophenyl)-5-ethoxy-3-isoxazolidinyl]-pyridine,
4-chloro-2-cyano-N,N-dimethyl-5-(4-methylphenyl)-1H-imidazole-1-sulfonamide,
4-methyl-tetrazolo[1,5-a]quinazoline-5(4H)-one,
8-hydroxyquinoline sulfate,
9H-xanthene-9-carboxylic acid-2-[(phenylamino)-carbonyl]-hydrazide,
bis-(1-methylethyl)-3-methyl-4-[(3-methylbenzoyl)-oxy]-2,5-thiophene dicarboxylate,
cis-1-(4-chlorophenyl)-2-(1H-1,2,4-triazole-1-yl)-cycloheptanol,
cis-4-[3-[4-(1,1-dimethylpropyl)-phenyl-2-methylpropyl]-2,6-dimethylmorpholine hydrochloride,
ethyl-[(4-chlorophenyl)-azo]-cyanoacetate,
potassium hydrogen carbonate
methanetetrathiol sodium salt
methyl-1-(2,3-dihydro-2,2-dimethyl-1H-indene-1-yl)-1H-imidazol-5-carboxylate,
methyl-N-(2,6-dimethylphenyl)-N-(5-isoxazolylcarbonyl)-DL-alaninate,
methyl-N-(chloroacetyl)-N-(2,6-dimethylphenyl)-DL-alaninate,
N-(2,6-dimethylphenyl)-2-methoxy-N-(tetrahydro-2-oxo-3-furanyl) acetamide,
N-(2,6-dimethylphenyl)-2-methoxy-N-(tettrahydro-2-oxo-3-thienyl) acetamide,
N-(2-chloro-4-nitrophenyl)-4-methyl-3-nitrobenzene sulfonamide,
N-(4-cyclohexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidinamine,
N-(4-hexylphenyl)-1,4,5,6-tetrahydro-2-pyrimidinamine,
N-(5-chloro-2-methylphenyl)-2-methoxy-N-(2-oxo-3-oxazolidinyl) acetamide,
N-(6-methoxy)-3-pyridinyl)-cyclopropane carboxamide,
N-[2,2,2-trichloro-1-[(chloroacetyl)-amino]-ethyl]-benzamide,
N-[3-chloro-4,5-bis-(2-propinyloxy)-phenyl]-N'-methoxymethane imidamide,
N-formyl-N-hydroxy-DL-alanine sodium salt,
O,O-diethyl-[2-(dipropylamino)-2-oxoethyl]-ethylphosphoramido thioate,
O-methyl-S-phenyl-phenylpropylphosphoramido thioates,
S-methyl-1,2,3-benzothiadiazole-7-carbothioate,
spiro[2H]-1-benzopyrane-2,1'(3'H)-isobenzofuran]-3'-one,
4-[3,4-dimethoxyphenyl]-3-(4-fluorophenyl)-acryloyl]-morpholine.

Bactericides

[0112] Bronopol, dichlorophen, nitrapyrine, nickel dimethyldithio carbamate, kasugamycine, octhilinon, furan carboxylic acid, oxytetracycline, probenazol, streptomycin, tecloftalam, copper sulfate and other copper products

Insecticides, Acaricides, Nematicides

Abamectin, acephate, acetamiprid, acrinathrin, alanycarb, aldicarb, aldoxycarb, alpha-cypermethrin, alpha-methrin, amitraz, avermectin, AZ 60541, azadirachtin, azamethiphos, azinphos A, azinphos M, azocyclotin,
Bacillus popilliae, bacillus sphaericus, Bacillus subtilis, Bacillus thuringiensis, baculo viruses, Beauveria bassiana, Beauveria tenella, bendiocarb, benfuracarb, bensultap, benzoximate, beta-cyfluthrin, bifenazate, bifenthrin, bioethanomethrin, biopermethrin, bistrifluron, BPMC, bromophos A, bufencarb, buprofezin, butathiofos, butocarboxim, butylpyridaben,
cadusafos, carbaryl, carbofuran, carbophenothion, carbosulfan, cartap, chloethocarb, chlorethoxyfos, chlorfenapyr, chorfenvinphos, chlorfluazuron, chlormephos, chlorpyrifos, chlorpyrifos M, chlovaporthrin, chromafenozide, cis-resmethrin,

cispermethrin, clocythrin, cloethocarb, clofentezine, clothianidine, cyanophos, cycloprene, cycloprothrin, cyfluthrin, cyhalothrin, cyhexatin, cypermethrin, cyromazine,
deltarnethrin, demeton M, demeton S, demeton-S methyl, diafenthiuron, diazinon, dichlorvos, dicofol, diflubenzuron, dimethoate, dimethylvinphos, diofenolan, disulfoton, docusat sodium, dofenapyn,
eflusilanate, emamectin, empenthrin, endosulfan, entomopfthora spp., esfenvalerate, ethiofencarb, ethion, ethoprophos, etofenprox, etoxazole, etrimfos, fenamiphos, fenazaquin, fenbutatin oxide, fenitrothion, fenothiocarb, fenoxacrim, fenoxycarb, fenpropathrin, fenpyrad, fenpyrithrin, fenpyroximate, fenvalerate, fipronil, fluazuron, flubrocythrinate, flucycloxuron, fucythrinate, flufenoxuron, flumethrin, flutenzine, fluvalinate, fonophos, fosmethilan, fosthiazate, fubfenprox, furathiocarb,
granulose viruses
halofenocides, HCH, heptenophos, hexaflumuron, hexythiazox, hydroprene,
imidacloprid, indoxacarb, isazofos, isofenphos, isoxathion, ivermectin,
nuclear polyedra viruses,
lambda-cyhalothrin, lufenuron
malathion, mecarbam, metaldehyd, methamidophos, Metharhizium anisopliae, Metharhizium flavoviride, methidathion, methiocarb, methoprene, methomyl, methoxyfenozide, metolcarb, metoxadiazone, mevinphos, milbemectin, milbemycin, monocrotophos,
naled, nitenyram, nithiazine, novaluron
omethoat, oxamyl, oxydemethon M,
paecilomyces fumosoroseus, parathion A, parathion M, permethrin, phenthoat, phorat, phosalone, phosmet, phosphamidon, phoxim, pirimicarb, pirimiphos A, pirimiphos M, profenofos, promecarb, propargite, propoxur, prothiofos, prothoat, pymetrozine, pyraclofos, pyresmethrin, pyrethrum, pyridaben, pyridathion, pyrimidifen, pyriproxyfen,
quinalphos,
ribavirin,
salithion, sebufos, silafluofen, spinosad, spirodiclofen, sulfotep, sulprofos,
tau-fluvalinate, tebufenocide, tebufenpyrad, tebupirimiphos, teflubenzuron, tefluthrin, temephos, temivinphos, terbufos, tetrachlorvinphos, tetradifon thetacypermethrin, thiacloprid, thiamethoxam, thiapronil, thiatriphos, thiocyclam hydrogen oxalate, thiodicarb, thiofanox, thuringiensin, tralocythrin, tralomethrin, triarathene, triazamate, triazophos, triazuron, trichlophenidine, trichlorofon, triflumuron, trimethacarb,
vamidothion, vaniliprole, Verticillium lecanii
YI 5302
Zeta-cypermethrin, zolaprofos
(1R-cis)-[5-(phenylmethyl)-3-furanyl]-methyl-3-[(dihydro-2-oxo-3(2H)-furanylidene)-methyl]-2,2-dimethylcyclopropane carboxylate
(3-phenoxyphenyl)-methyl-2,2,3,3-tetramethylcyclopropane carboxylate
1-[(2-chloro-5-thiazolyl)-methyl]tetrahydro-3,5-dimethyl-N-nitro-1,3,5-triazine-2(1H)-imine
2-(chloro-6-fluorophenyl)-4-[4-(1,1-dimethylethyl)phenyl]-4,5-dihydro-oxazol
2-(acetyloxy)-3-dodecyl-1,4-nephthalinedione
2-chloro-N-[[[4-(1-phenylethoxy)-phenyl]-amino]-carbonyl]-benzamide
2-chloro-N-[[[4-(2,2-dichloro-1,1-difluoroethyoxy)-phenyl]-amino]-carbonyl]-benzamide
3-methylphenylpropyl carbamate
4-[4-(4-ethoxyphenyl)-4-methylpentyl]-1-fluoro-2-phenoxy-benzene
4-chloro-2-(1,1-dimethylethyl)-5-[[2-(2,6-dimethyl-4-phenoxyphenoxy)ethyl]thio]-3(2H)-pyridazinone
4-chloro-2-(2-chloro-2-methylpropyl)-5-[(6-iodo-3-pyridinyl)methoxy]-3(2H)-pyridazinone
4-chloro-5-[(6-chloro-3-pyridinyl)methoxy]-2-(3,4-dichlorophenyl)-3(2H)-pyridazinone
Bacillus thuringiensis strain EG-2348
benzoic acid [2-benzoyl-1-(1,1-dimethylethyl)-hydrazide
butanoic acid 2,2-dimethyl-3-(2,4-dichlorophenyl)-2-oxo-1-oxaspiro[4,5]dec-3-en-4-yl-ester
[3-[(6-chloro-3-pyridinyl)methyl]-2-thiazolidinyliden]-cyanamide
dihyro-2-(nitromethylene)-2H-1,3-thiazine-3(4H)-carboxaldehyde
ethyl-[2-[[1,6-dihydro-6-oxo-1-(phenylmethyl)-4-pyridazinyl]oxy]ethyl]-carbamate
N-(3,4,4-trifluoro-1-oxo-3-butenyl)-glycine
N-(4-chlorophenyl)-3-[4-(difluoromethoxy)phenyl-4,5-dihydro-4-phenyl-1H-pyrazol-1-carboxamide
N-(2-chloro-5-thiazolyl)methyl]-N'-methyl-N"-nitroguanidine
N-methyl-N'-(1-methyl-2-propenyl)-1,2-hydrazinedicarbo thioamide
N-methyl-N'-2-propenyl-1,2-hydrazinedicarbo thioamide
O,O-diethyl-[2-(dipropylamino)-2-oxoethyl]-ethylphosphoramido thioate
N-cyanomethyl-4-trifluoromethyl nicotinamide
3,5-dichloro-1-(3,3)-dichloro-2-propenyloxy)-4-[3-(5-trifluoromethylpyridine-2-yloxy)-propoxy]-benzene

[0113] A mixture with other known active ingredients, such as herbicides or fertilizers and growth regulators, is possible.

[0114] In addition, the compounds of structure (I) also have very good antimycotic effects. They have a very broad antimycotic spectrum of activity, in particular against dermatophytes and yeast-like fungi, mildew, and diphasic fungi (for example against Candida species such as Candida albicans, Candida glabrata) as well as Epidermophyton floccosum, Aspergillus species such as Aspergillus niger and Aspergillus fumigatus, Trichophyton species such as Trichophyton mentagrophytes, Microsporon species such as Microsporon canis and audouinii. The enumeration of these fungi in no ways represents a limitation of the conceivable mycotic spectrum, but is only intended for purposes of illustration.

[0115] The active ingredients can be used as such, in the form of their formulations, or the application forms prepared from them, such as ready-to-use solutions, suspensions, spray powder, pastes, soluble powder, dusts, and granulates. Application occurs in the usual way, for example by pouring, spraying, atomization, scattering, dusting, foaming, painting, etc. It is also possible to use the ultra-low volume method to apply the active ingredients or to inject the active ingredient formulation or the active ingredient itself into the ground. The plant seeds can also be treated.

[0116] When the active ingredients of the invention are used as fungicides, the application rates may vary within a relatively large range depending on the type of application. When plant parts are treated, the active ingredient application rates generally lie between 0.1 and 10,000 g/ha, preferably between 10 and 1,000 g/ha. When the seed is treated, the active ingredient application rates generally lie between 0.001 and 50 g per kilogram seeds, preferably between 0.01 and 10 g per kilogram seeds. When the soil is treated, the active ingredient application rates generally lie between 0.1 and 10,000 g/ha, preferably between 1 and 5,000 g/ha.

[0117] In addition, the active ingredients of the invention can be used as insecticides in their commercially available formulations as well as in the application forms prepared from said formulations mixed with synergists. Synergists are compounds that increase the effectiveness of the active ingredients, but do not themselves need to be active ingredients.

[0118] The active ingredient content of the application forms prepared from the commercially available formulations may vary across wide ranges. The active ingredient concentration of the application forms may lie between 0.0000001 to 95 wt.% active ingredient, preferably between 0.0001 and 1 wt.%.

[0119] The application is performed in a conventional manner appropriate to the application forms.

[0120] In the application to combat hygienic pests and pests that harm supplies or materials, the active ingredient is characterized by an excellent residual effect on wood and play, as well as good alkaline stability on calcium-treated substrates.

[0121] As already stated, all plants and parts of plants can be treated according to the invention. In a preferred embodiment, plant types and strains that occur naturally or that are obtained through conventional biological breeding methods, such as crossing or protoplast fusion, as well as the parts of such plants are treated. In an additional preferred embodiment, transgenic plants and plant strains that are obtained through genetic engineering methods, optionally in combination with conventional methods (genetic modified organisms) and parts thereof are treated. The terms "parts" and "parts of plants" or "plant parts" were explained above.

[0122] Especially preferred plants of the invention of plant strains that are commercially available or are in use are treated. Plant strains are understood to mean plants with novel traits that are bred in through conventional breeding, mutagenesis, or recombinant techniques. These strains can be types, races, biotypes and genotypes.

[0123] Depending on the plant types and plant strains, their location and growth conditions (soils, climate, vegetation period, nutrition), treatment in accordance with the invention can also result in superadditive ("synergistic") effects. For example, reduced application rates and/or increases in the spectrum of activity and/or an increase in the effectiveness of the substances and materials used in accordance with the invention, better plant growth, increased tolerance to higher or lower temperatures, increased tolerance to dryness or to the water or soil salt content, increased blooming ability, easier harvest, acceleration of maturity, higher yields, higher quality, and/or higher nutritional value of the harvested product, longer shelf life and/or processing of harvest products are possible beyond the effects that would normally be expected.

[0124] The preferred transgenic plants and plant strains (obtained through gene technology) that are to be treated include all plants that through the genetic technology modification receive material that gives these plants especially advantageous traits. Examples of such traits are better plant growth, increased tolerance to high or low temperatures, increased tolerance to dryness or to water or soil salt content, increased blooming, easier harvest, acceleration of maturity, higher yields, higher quality and/or higher nutritional value of the harvested products, longer shelf life and/or ability to process to harvest products. Additional and especially important examples of such traits are greater ability of plants to defend themselves from animal and microbial pests, such as insects, mites, plant-pathogenic fungi, bacteria, and/or viruses, as well as increased tolerance of the plants to certain herbicide active ingredients. Examples of transgenic plants are the major crop plants such as grains (wheat, rice), corn, soybeans, potatoes, cotton, rapeseed, as well as fruits (including the fruits apples, pears, citrus fruits, and grapes), where corn, soybeans, potatoes, cotton, and rapeseed are particularly important. Among the traits that are to be noted in particular are improved defense of the plants against insects as a result of the toxins that are produced in the plants, in particular those that are produced by the genetic material of the bacillus thuringiensis (for example by the genes CryIA(a), CryIA(b), CryIA(c), CryIIA, CryIIIA, CryIIIB2, Cry9c Cry2Ab, Cry3Bb, and CryIF, as well as combinations thereof) in the plants (hereinafter referred to as "Bt plants"). Further traits that are particularly noteworthy are the plants increased resistance to fungi, bacteria, and viruses as a result of systemically acquired resistance (SAR), systemine, phytoalexins, elicitors, as well as resistance genes and correspondingly expressed proteins and toxins. Traits that are particularly noteworthy are increased tolerance on the part of the plants to certain herbicidal active ingredients, for example imidazolinones, sulfonyl ureas, glyphosphates, or phosphinotricin (for example "PAT"-gen). The genes that impart the desired traits can also appear in combination with each other in the transgenic plants. Examples of "Bt plants" are corn strains, cotton strains, potato strains that are marketed under the trade names YIELD GARD® (for example corn, cotton, soy), KnockOut® (for example: corn), StarLink® (for example: corn), Bollgard® (cotton), Nucotn® (cotton) and NewLeaf® (potatoes). Examples of plants that are tolerant to herbicides are corn strains, cotton strains, and soybean strains marketed under the trade names Roundup Ready® (tolerance to glyphosphates, for example corn, cotton, soy), Liberty Link® (tolerance to phosphinotricine, for example rapeseed), IMI® (tolerance to imidzolinones) and STS® (tolerance to sulfonyl ureas, for example in corn). Examples of plants

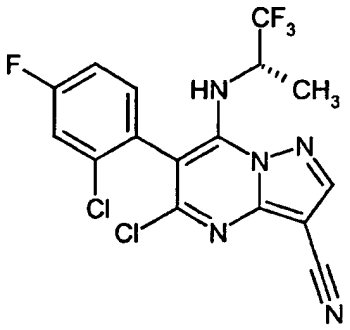
that are resistant to herbicides (bred conventionally to achieve tolerance to herbicides) are the strains sold under the trade name Clearfield®. Of course, this also applies to plant strains that will be developed in the future or that will enter the market in the future and will have these genetic traits or traits that will be developed in the future.

[0125] The plants that are listed can be treated to particularly good advantage with the compounds of general structure I or the active ingredient mixtures of the invention. The preferred ranges stated above for the active ingredients or mixtures also apply to the treatment of these plants. Particularly noteworthy is the treatment of plants with the compounds of mixture specifically listed in the present text.

[0126] The invention is also illustrated by the following examples:

Preparation examples

Examples 1 and 2



[0127] Stir 2.5 g (7.3 mmol) 3-cyano-5,7-dichloro-6-(2-chloro-4-fluorophenyl)-pyrazolo[1,5-a]pyrimidine with 0.425 g (7.3 mmol) potassium fluoride in 7.8 g acetonitrile for 3 hours at 60°C. Then add 3.31 g (29.3 mmol) (S)-1,1,1-trifluoroprop-2-ylamine and stir for an additional 15 hours at 80°C. The solvent is distilled off under vacuum, and the residue is treated with dichloromethane and 1 N aqueous hydrochloric acid. The organic phase is removed, dried over sodium sulfate, and the solvent is distilled off in a vacuum. Chromatograph the residue on silica gel with a mixture of 4 parts cyclohexane and 1 part acetic acid ethyl ester. Two different product fractions are isolated (fraction 1 and fraction 2).

[0128] Fraction 1 (1.2 g) is chromatographed again using a mixture of 9 parts n-hexane and 1 part acetone on silica gel. 0.8 g (21% of theoretical) 3-cyano-5-chloro-6-(2-chloro-4-fluorophenyl)-7-(S)-1',1',1'-trifluoroprop-2-ylamino-pyrazolo[1,5-a]pyrimidine as the atropisomer A (example 1) (content: 80.4%)

HPLC: logP = 3.88 (isomer AS)

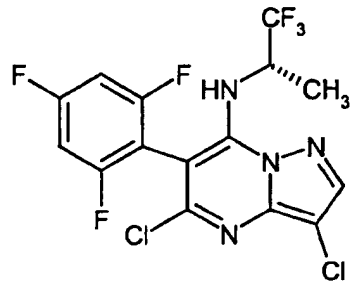
^{1}H-NMR (DMSO-d6, tetramethylsilane): δ = 1.37, 1.38 (3H); 4.88, 4.90 (1H); 7.43–7.59 (1H); 7.60–7.66 (1H); 7.72–7.78 (1H); 8.06, 8.08 (1H, NH); 8.83 (1H) ppm.

[0129] The fraction that was finally isolated, fraction 2, contains 0.9 g (29.3% of theoretical) 3-cyano-5-chloro-6-(2-chloro-4-fluorophenyl)-7-(S)-1',1',1'-trifluoroprop-2-ylamino-prazolo[1,5-a]pyrimidine as the atropisomer B (example 2) (content: 99.3%)

HPLC: logP = 3.91 (isomer BS)

^{1}H-NMR (DMSO-d6, tetramethylsilane): δ = 1.29, 1.31 (3H); 4.61, 4.63, (1H); 7.42–7.47 (1H); 7.58–7.61 (1H); 7.73–7.76 (1H); 8.10, 8.12 (1H, NH); 8.84 (1H) ppm.

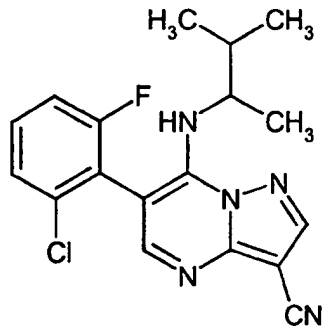
Example 3



[0130] Add 0.165 g (9.75 g) (237.5 mmol) potassium fluoride and 0.481 g (4.26 mmol) (S)-1,1,1-trifluoroprop-2-ylamine to a solution of 0.5 g (1.4 mmol) 3,5,7-trichloro-6-(2,4,6-trifluorophenyl)pyrazolo[1,5-a]pyrimidine in 12.5 ml acetonitrile and stir for 16 hours at 80°C. After the mixture is cooled, add 1 N hydrochloric acid and dichloromethane. Filter the reaction mixture and concentrate the filtrate. Chromatograph the residue using methyl-t-butylether/petroleum ether (1:100) in a silica gel cartridge. 0.25 g (40% of theoretical of N-[3,5-dichloro-6-[2,4,6-trifluorophenyl)pyrazolol[1,5-a]pyrimidine-7-yl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]amine.

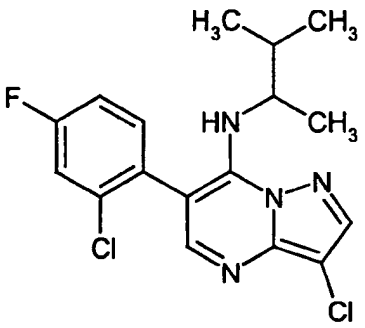
HPLC; logP = 4.43.

Example 4



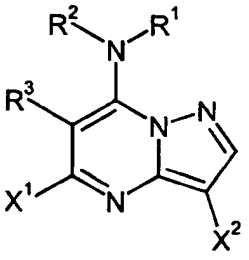
[0131] Dissolve 0.1 g (0.33 mmol) 7-chloro-6-(2-chloro-6-fluorophenyl)pyrazolo[1,5-a] pyrimidine-3-carbonitrile and 0.028 g (0.33 mmol) 1,2-dimethylpropylamine in 5 ml dichloromethane. Add 0.05 ml triethylamine, and stir the reaction mixture for 16 hours at room temperature. Stir 1 N hydrochloric acid into the reaction mixture, filter, and concentrate the filtrate in a vacuum. Using a silica gel cartridge, chromatograph the residue with methyl-t-butylether/petroleumether (1:9). 0.1g (89% of theoretical)6-(2-chloro-6-fluorophenyl)-7-[(1,2-dimethylpropyl)amino]pyrazolo[1,5-a]pyrimidine-3-carbonitirile is obtained.

Example 5



[0132] Dissolve 0.1 g (0.316 mmol) 7-chloro-6-(2-chloro-6-fluorophenyl)pyrazolo[1,5-a]pyrimidine-3-carbonitrile and 0.028 g (0.316 mmol) 1,2-dimethylpropylamine in 4 ml acetonitrile. Add 0.044 g (0.316 mmol) potassium carbonate, and stir the reaction mixture for 16 hours at 60°C. Add 20 ml ether and 10 ml 1 N hydrochloric acid to the reaction mixture. Separate the organic phase, dry over sodium sulfate, and concentrate under vacuum. Chromatograph the residue with methyl-t-butylether/petroleum ether (1:30) in a silica gel cartridge. 0.08 g (67% of theoretical) of N-[3-chloro-6-(2-chloro-4-fluorophenyl)pyrazolo[1,5-a]pyrimidine-7-yl]-N-(1,2-dimethylpropyl)amine is obtained.
HPLC; logP = 4.53.

[0133] Using the methods described above, the compounds listed in Table 1 having structure



(I)

are prepared.

Table 1

Ex. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
6	$-CH_2-C(CH_3)=CH_2$	$-C_2H_5$	2,4,6-trifluorophenyl	-Cl	-CN		4,6	
7	2,2,2-trifluoro-1-methylethyl	-H	2,4,6-trifluorophenyl	-Cl	-CN	S	3,69	
8	$-CH_2-C(CH_3)=CH_2$	$-C_2H_5$	2-fluorophenyl	-Cl	-CN		4,38	
9	2-Meth-oxyethyl	$-C_2H_5$	2-fluorophenyl	-Cl	-CN		3,52	
10	Cyclopentyl	-H	2-fluorophenyl	-Cl	-CN		3,89	
11	Cyclopropylmethyl	-H	2-fluorophenyl	-Cl	-CN		3,47	

Table 1 (Continued)

Ex. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
12	2,2,2-trifluoro-1-methylethyl	-H	2-chloro-6-fluorophenyl	-Cl	-CN	S	3,73	
13	$-CH_2-C(CH_3)=CH_2$	$-C_2H_5$	2-chloro-6-fluorophenyl	-Cl	-CN		4,68	
14	$-CH_2-CH_2-O-CH_2-CH_2-$	*	2-chloro-6-fluorophenyl	-Cl	-CN		3,26	
15	n-Butyl	$-C_2H_5$	2-chloro-6-fluorophenyl	-Cl	-CN		4,92	
16	i-Butyl	-H	2-chloro-6-fluorophenyl	-Cl	-CN		3,94	
17	$-CH_2-C(CH_3)_3$	-H	2-chloro-6-fluorophenyl	-Cl	-CN		4,41	
18	$-CH_2-C(CH_3)=CH_2$	-H	2-chloro-6-fluorophenyl	-Cl	-CN		3,65	
19	$-CH_2-CH_2-CH_2-CH_2-$	*	2-chloro-6-fluorophenyl	-Cl	-CN		3,82	
20	$-C_2H_5$	$-C_2H_5$	2-chloro-6-fluorophenyl	-Cl	-CN		4,13	
21	$-CH_2-CH_2-CH_2-CH_2-CH_2-$	*	2-chloro-6-fluorophenyl	-Cl	-CN		4,32	
22	Cyclopentyl	-H	2-chloro-6-fluorophenyl	-Cl	-CN		4,13	
23	-i-Propyl	-H	2-chloro-6-fluorophenyl	-Cl	-CN		3,65	
24	2-Meth-oxyethyl	-H	2-chloro-6-fluorophenyl	-Cl	-CN		3,22	
25	Cyclopropyl	-H	2-chloro-6-fluorophenyl	-Cl	-CN		3,37	

Table 1 (Continued)

Ex. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
26	$-CH_2-CH_2-S-CH_2-CH_2-$	*	2-chloro-6-fluorophenyl	-Cl	-CN		3,9	
27	$-CH_2-CH_2-CH(CF_3)-CH_2-CH_2-$	*	2-chloro-6-fluorophenyl	-Cl	-CN		4,37	
28	$-CH_2-CH_2-CH(CH_3)-CH_2-CH_2-$	*	2-chloro-6-fluorophenyl	-Cl	-CN		4,77	
29	Cyclopropylmethyl	-H	2-chloro-6-fluorophenyl	-Cl	-CN		3,74	
30	2-Butyl	-H	2-chloro-6-fluorophenyl	-Cl	-CN		3,94	
31	$-CH_2-CH_2-CH=CH-CH_2-$	*	2-chloro-6-fluorophenyl	-Cl	-CN		4,08	
32	$-CH_2-CH_2-CH_2-CH(CH_3)-CH_2-$	*	2-chloro-6-fluorophenyl	-Cl	-CN		4,77	
33	$-CH_2-CH_2-CH=C(CH_3)-CH_2-$	*	2-chloro-6-fluorophenyl	-Cl	-CN		4,51	
34	$-CH_2-CH_2-CHF-CH_2-CH_2-$	*	2-chloro-6-fluorophenyl	-Cl	-CN		3,82	
35	Allyl	$-C_2H_5$	2-chloro-6-fluorophenyl	-Cl	-CN		4,32	
36	(2-Furyl)methyl	$-C_2H_5$	2-chloro-6-fluorophenyl	-Cl	-CN		4,32	
37	(2-Tetrahydrofuryl)methyl	$-C_2H_5$	2-chloro-6-fluorophenyl	-Cl	-CN		4,08	
38	2-Methoxyethyl	$-C_2H_5$	2-chloro-6-fluorophenyl	-Cl	-CN		3,86	
39	$-CH_2-COOC_2H_5$	$-C_2H_5$	2-chloro-6-fluorophenyl	-Cl	-CN		3,86	
40	Propargyl	$-CH_3$		-Cl	-CN		3,53	

Table 1 (Continued)

Ex. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
41	$-CH_2-COOC_2H_5$	$-CH_3$	2-chloro-6-fluorophenyl	-Cl	-CN		3,57	
42	1,3-dioxolane-2-ylmethyl	$-CH_3$	2-chloro-6-fluorophenyl	-Cl	-CN		3,49	
43	Allyl	$-CH_3$	2-chloro-6-fluorophenyl	-Cl	-CN		4,03	
44	(2-Furyl)-methyl	$-CH_3$	2-chloro-6-fluorophenyl	-Cl	-CN		3,99	
45	$-CH_2-C(CH_3)=CH_2$	$-CH_3$	2-chloro-6-fluorophenyl	-Cl	-CN		4,37	
46	i-Butyl	$-CH_3$	2-chloro-6-fluorophenyl	-Cl	-CN		4,51	
47	(2-Tetrahydrofuryl)methyl	n-Propyl	2-chloro-6-fluorophenyl	-Cl	-CN		4,51	
48	i-Butyl	-H	2,4,6-trifluorophenyl	-Cl	-CN		3,85	
49	$-CH_2-C(CH_3)_3$	-H	2,4,6-trifluorophenyl	-Cl	-CN		4,26	
50	2-Butyl	-H	2,4,6-trifluorophenyl	-Cl	-CN		3,89	
51	Cyclopentyl	-H	2,4,6-trifluorophenyl	-Cl	-CN		4,01	
52	-i-Propyl	-H	2,4,6-trifluorophenyl	-Cl	-CN		3,54	
53	Cyclopropyl	-H	2,4,6-trifluorophenyl	-Cl	-CN		3,25	
54	Cyclopropylmethyl	-H	2,4,6-trifluorophenyl	-Cl	-CN		3,63	
55	$-CH_2-C(CH_3)=CH_2$	-H	2,4,6-trifluorophenyl	-Cl	-CN		3,54	
56	1,3-dioxolane-2-ylmethyl	$-CH_3$	2,4,6-trifluorophenyl	-Cl	-CN		3,33	
57	2-Methoxyethyl	$-C_2H_5$	2,4,6-trifluorophenyl	-Cl	-CN		3,74	
58	$-CH_2-CH_2-CH_2-CH_2-CH(CH_3)-$	*	2,4,6-trifluorophenyl	-Cl	-CN		4,5	
59	2-Butyl	-H	2-fluorophenyl	-Cl	-CN		3,7	
60	$-CH_2-CH_2-CF_3$	-H	2-fluorophenyl	-Cl	-CN		3,34	
61	n-Propyl	-H	2-fluorophenyl	-Cl	-CN		3,38	
62	-i-Propyl	-H	2-fluorophenyl	-Cl	-CN		3,36	

Table 1 (Continued)

Ex. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
63	Cyclohexyl	-H	2-fluorophenyl	-Cl	-CN		4,2	
64	1-Cyclohexylethyl	-H	2-fluorophenyl	-Cl	-CN		4,91	
65	2-Methoxyethyl	-H	2-fluorophenyl	-Cl	-CN		2,89	
66	Cyclopropyl	-H	2-fluorophenyl	-Cl	-CN		3,11	
67	$-CH_2-CF_3$	-H	2-fluorophenyl	-Cl	-CN		3,15	
68	$-CH_2-C(CH_3)=CH_2$	-H	2-fluorophenyl	-Cl	-CN		3,39	
69	3-trifluoromethylcyclohexyl	-H	2-fluorophenyl	-Cl	-CN		4,15	
70	2-trifluoromethylcyclohexyl	-H	2-fluorophenyl	-Cl	-CN		4,26	
71	3,5 -bis-trifluoromethylcyclohexyl	-H	2-fluorophenyl	-Cl	-CN		4,26	
72	$-C_2H_5$	$-C_2H_5$	2-fluorophenyl	-Cl	-CN		3,8	
73	$-CH_2-CH_2-O-CH_2-CH_2-$	*	2-fluorophenyl	-Cl	-CN		2,88	
74	2,2,2-trifluoro-1-methylethyl	-H	2-fluorophenyl	-Cl	-CN	S	3,49	
75	$-CH(CH_3)-CH_2-CH(CH_3)_2$	-H	2-fluorophenyl	-Cl	-CN			
76	i-Butyl	-H	2-chlorophenyl	-Cl	-CN		4	
77	$-CH_2-C(CH_3)_3$	-H	2-chlorophenyl	-Cl	-CN		4,47	
78	2-Butyl	-H	2-chlorophenyl	-Cl	-CN		3,98	
79	Cyclopentyl	-H	2-chlorophenyl	-Cl	-CN		4,19	
80	-i-Propyl	-H	2-chlorophenyl	-Cl	-CN		3,64	
81	Cyclopropyl	-H	2-chlorophenyl	-Cl	-CN		3,38	
82	Cyclopropylmethyl	-H	2-chlorophenyl	-Cl	-CN		3,74	
83	$-CH_2-C(CH_3)=CH_2$	-H	2-chlorophenyl	-Cl	-CN		3,68	
84	$-CH(CH_3)-CH_2-CH(CH_3)_2$	-H	2-chlorophenyl	-Cl	-CN		4,7	
85	1,3-dioxolane-2-ylmethyl	$-CH_3$	2-chlorophenyl	-Cl	-CN		3,42	
86	Allyl	$-CH_3$	2-chlorophenyl	-Cl	-CN		4,03	
87	2-Methoxyethyl	$-CH_3$	2-chlorophenyl	-Cl	-CN		3,5	
88	$-CH_2-C(CH_3)=CH_2$	$-CH_3$	2-chlorophenyl	-Cl	-CN		4,39	
89	$-CH_2-C(CH_3)=CH_2$	$-C_2H_5$	2-chlorophenyl	-Cl	-CN		3,68	
90	Allyl	$-C_2H_5$	2-chlorophenyl	-Cl	-CN		4,32	
91	$-CH_2-CH_2-CH_2-CH(CH_3)-$	*	2-chlorophenyl	-Cl	-CN		4,18	

Table 1 (Continued)

Ex. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
92	$-CH_2-CH_2-CH_2-CH_2-$	*	2-chlorophenyl	-Cl	-CN		3,82	
93	$-CH_2-CH_2-CH=CH-CH_2-$	*	2-chlorophenyl	-Cl	-CN		4,1	
94	$-CH_2-CH_2-CH_2-CH_2-CH(CH_3)-$	*	2-chlorophenyl	-Cl	-CN		4,69	
95	$-CH_2-CH_2-CH(CH_3)-CH_2-CH_2-$	*	2-chlorophenyl	-Cl	-CN		4,78	
96	$-CH_2-CH_2-CH=C(CH_3)-CH_2-$	*	2-chlorophenyl	-Cl	-CN		4,52	
97	$-CH_2-CH_2-CH(CF_3)-CH_2-CH_2-$	*	2-chlorophenyl	-Cl	-CN		4,35	
98	$-CH_2-CH_2-CH_2-CH_2-CH_2-$	*	2-chlorophenyl	-Cl	-CN		4,36	
99	$-CH_2-CH_2-O-CH_2-CH_2-$	*	2-chlorophenyl	-Cl	-CN		3,17	
100	$-CH_2-CH_2-S-CH_2-CH_2-$	*	2-chlorophenyl	-Cl	-CN		3,88	
101	$-CH_2-CH_2-N(CH_3)_2$	$-C_2H_5$	2-chloro-6-fluorophenyl	-Cl	-CN		1,9	
102	$-CH(CF_3)-CH_2-CH_2-CH_2-$	*	2-chloro-6-fluorophenyl	-Cl	-CN		4,18	
103	2,2,2-trifluoro-1-methylethyl	-H	2-chlorophenyl	-Cl	-CN	S	3,79	
104	$-CH_2-CH_2-CH_2-CH_2-CH_2-$	*	2-fluorophenyl	-Cl	-CN		4,05	
105	$-CH_2-C(CH_3)=CH_2$	$-C_2H_5$	2,4,-difluorophenyl	-Cl	-CN		4,47	95-98
106	$-CH_2-C(CH_3)=CH_2$	$-C_2H_5$	2,4,6-trifluorophenyl	-Cl	-Cl		5,55	
107	Allyl	$-CH_3$	2,4,6-trifluorophenyl	-Cl	-CN		3,87	
108	i-Butyl	$-CH_3$	2,4,6-trifluorophenyl	-Cl	-CN		4,37	
109	2-Methoxyethyl	$-CH_3$	2,4,6-trifluorophenyl	-Cl	-CN		3,44	
110	$-CH_2-C(CH_3)=CH_2$	$-CH_3$	2,4,6-trifluorophenyl	-Cl	-CN		4,24	
111	Allyl	$-C_2H_5$	2,4,6-trifluorophenyl	-Cl	-CN		4,23	
112	$-CH_2-CH_2-CH_2-CH(CH_3)-$	*	2,4,6-trifluorophenyl	-Cl	-CN		4,09	
113	$-CH(CF_3)-CH_2-CH_2-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-CN		4,12	
114	$-CH_2-CH_2-CH_2-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-CN		3,71	
115	$-CH_2-CH_2-CH=CH-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-CN		3,96	

Table 1 (Continued)

Ex. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
116	$-CH_2-CH_2-CH_2-CH(CH_3)-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-CN		4,6	
117	$-CH_2-CH_2-CH(CH_3)-CH_2-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-CN		4,6	
118	$-CH_2-CH_2-CH=C(CH_3)-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-CN		4,34	
119	$-CH_2-CH_2-CHF-CH_2-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-CN		3,72	
120	$-CH_2-CH_2-CH(CF_3)-CH_2-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-CN		4,26	
121	$-CH_2-CH_2-CH_2-CH_2-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-CN		4,23	
122	$-CH_2-CH_2-O-CH_2-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-CN		3,16	
123	$-CH_2-CH_2-S-CH_2-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-CN		3,79	
124	$-CH_2-CF_3$	-H	2,4,6-trifluorophenyl	-Cl	-CN		3,37	
125	$-C_2H_5$	$-C_2H_5$	2,4-difluorophenyl	-Cl	-CN			
126	2,2,2-trifluoro-1-methylethyl	-H	2,4-difluorophenyl	-Cl	-CN	S	3,65	123-25
127	$-C_2H_5$	-H	2-fluorophenyl	-Cl	-CN		3,06	
128	$-CH_2-CN$	-H	2-fluorophenyl	-Cl	-CN		2,45	
129	$-C(CH_3)_2-CF_3$	-H	2-fluorophenyl	-Cl	-CN		4,01	
130	4-trifluoromethylcyclohexyl	-H	2-fluorophenyl	-Cl	-CN		4,2	
131	$-CH_3$	$-CH_3$	2-fluorophenyl	-Cl	-CN		3,12	
132	$-CH_2-CH_2-CH_2-CH_2-$	*	2-fluorophenyl	-Cl	-CN		3,56	
133	$-CH_2-CH_2-CH(CF_3)-CH_2-CH_2-$	*	2-fluorophenyl	-Cl	-CN		4,13	
134	$-CH_2-CH(CH_3)-O-CH(CH_3)-CH_2-$	*	2-fluorophenyl	-Cl	-CN		3,67	
135	$-CH_2-CH_2-S-CH_2-CH_2-$	*	2-fluorophenyl	-Cl	-CN		3,63	
136	1-Cyclopropylethyl	-H	2,4,6-trifluorophenyl	-Cl	-Cl		4,66	
137	$-CH_2-CF_3$	-H	2-chlorophenyl	-Cl	-CN		3,43	
138	i-Butyl	$-CH_3$	2-chlorophenyl	-Cl	-CN		4,51	

Table 1 (Continued)

Ex. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
139	2-Methoxyethyl	n-Propyl	2-chlorophenyl	-Cl	-CN		4,23	
140	2-Methoxyethyl	$-C_2H_5$	2-chlorophenyl	-Cl	-CN		4,28	
141	$-CH(CF_3)-CH_2-CH_2-CH_2-$	*	2-chlorophenyl	-Cl	-CN		4,19	
142	$-CH_2-CH_2-CH_2-CH(CH_3)-CH_2-$	*	2-chlorophenyl	-Cl	-CN		4,82	
143	$-CH_2-CH_2-CHF-CH_2-CH_2-$	*	2-chlorophenyl	-Cl	-CN		3,81	
144	-i-Propyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN		3,78	
145	2,2,2-trifluoro-1-methylethyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN	AS + BR	3,87	
146	2,2,2-trifluoro-1-methylethyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN	AS + BR + BS + AR	3,92	
147	2,2,2-trifluoro-1-methylethyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN	AS + BR	3,91	
148	i-Butyl	-H	2,4-difluorophenyl	-Cl	-CN		3,87	
149	n-Butyl	-H	2,4-difluorophenyl	-Cl	-CN		3,86	
150	$-CH_2-C(CH_3)_3$	-H	2,4-difluorophenyl	-Cl	-CN		4,23	
151	2-Butyl	-H	2,4-difluorophenyl	-Cl	-CN		3,82	
152	$-CH_2-CH_2-CF_3$	-H	2,4-difluorophenyl	-Cl	-CN		3,47	
153	n-Propyl	-H	2,4-difluorophenyl	-Cl	-CN		3,5	
154	Cyclopentyl	-H	2,4-difluorophenyl	-Cl	-CN		3,98	
155	-i-Propyl	-H	2,4-difluorophenyl	-Cl	-CN		3,5	
156	Cyclohexyl	-H	2,4-difluorophenyl	-Cl	-CN		4,26	
157	1-Cyclohexylethyl	-H	2,4-difluorophenyl	-Cl	-CN		4,96	
158	2-Methoxyethyl	-H	2,4-difluorophenyl	-Cl	-CN		3,06	
159	Cyclopropyl	-H	2,4-difluorophenyl	-Cl	-CN		3,23	
160	Cyclopropylmethyl	-H	2,4-difluorophenyl	-Cl	-CN		4,35	
161	$-CH_2-C(CH_3)=CH_2$	-H	2,4-difluorophenyl	-Cl	-CN		3,51	

Table 1 (Continued)

Ex. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
162	3-trifluoromethylcyclohexyl	-H	2,4-difluorophenyl	-Cl	-CN		4,2	
163	2-trifluoromethylcyclohexyl	-H	2,4-difluorophenyl	-Cl	-CN		4,23	
164	4-trifluoromethylcyclohexyl	-H	2,4-difluorophenyl	-Cl	-CN		4,21	
165	$-CH(CH_3)-CH_2-CH(CH_3)_2$	-H	2,4-difluorophenyl	-Cl	-CN		4,47	
166	$-CH_2-CH_2-N(CH_3)_2$	$-CH_3$	2,4-difluorophenyl	-Cl	-CN		1,72	
167	Propargyl	$-CH_3$	2,4-difluorophenyl	-Cl	-CN		3,35	
168	1,3-dioxolane-2-ylmethyl	$-CH_3$	2,4-difluorophenyl	-Cl	-CN		3,3	
169	$-CH_2-CH(OCH_3)_2$	$-CH_3$	2,4-difluorophenyl	-Cl	-CN		3,46	
170	$-CH_2-C(CH_3)=CH_2$	$-CH_3$	2,4-difluorophenyl	-Cl	-CN		4,16	
171	n-Butyl	$-CH_3$	2,4-difluorophenyl	-Cl	-CN		4,36	
172	i-Butyl	-H	2,6-difluorophenyl	-Cl	-CN		3,73	
173	$-CH_2-C(CH_3)_3$	-H	2,6-difluorophenyl	-Cl	-CN		4,15	
174	2-Butyl	-H	2,6-difluorophenyl	-Cl	-CN		3,71	
175	$-CH_2-CN$	-H	2,6-difluorophenyl	-Cl	-CN		2,49	
176	Cyclopentyl	-H	2,6-difluorophenyl	-Cl	-CN		3,89	
177	-i-Propyl	-H	2,6-difluorophenyl	-Cl	-CN		3,39	
178	2-Meth-oxyethyl	-H	2,6-difluorophenyl	-Cl	-CN		2,96	
179	Cyclopropyl	-H	2,6-difluorophenyl	-Cl	-CN		3,13	
180	$-CH_2-CF_3$	-H	2,6-difluorophenyl	-Cl	-CN		3,07	
181	Cyclopropylmethyl	-H	2,6-difluorophenyl	-Cl	-CN		3,5	
182	$-CH_2-C(CH_3)=CH_2$	-H	2,6-difluorophenyl	-Cl	-CN		3,4	
183	$-CH(CH_3)-CH_2-CH(CH_3)_2$	-H	2,6-difluorophenyl	-Cl	-CN		4,39	
184	Propargyl	$-CH_3$	2,6-difluorophenyl	-Cl	-CN		3,27	
185	$-CH_2-COOC_2H_5$	$-CH_3$	2,6-difluorophenyl	-Cl	-CN		3,31	
186	1,3-dioxolane-2-ylmethyl	$-CH_3$	2,6-difluorophenyl	-Cl	-CN		3,21	
187	Allyl	$-CH_3$	2,6-difluorophenyl	-Cl	-CN		3,77	
188	i-Butyl	$-CH_3$	2,6-difluorophenyl	-Cl	-CN		4,23	
189	2-Methoxyethyl	$-CH_3$	2,6-difluorophenyl	-Cl	-CN		3,27	
190	$-CH_2-C(CH_3)=CH_2$	$-CH_3$	2,6-difluorophenyl	-Cl	-CN		4,1	
191	Allyl	$-C_2H_5$	2,6-difluorophenyl	-Cl	-CN		4,07	

Table 1 (Continued)

Ex. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
192	(2-Furyl)-methyl	$-C_2H_5$	2,6-difluorophenyl	-Cl	-CN		4,04	
193	(2-Tetrahydro-furyl)methyl	$-C_2H_5$	2,6-difluorophenyl	-Cl	-CN		3,84	
194	2-Methoxyethyl	$-C_2H_5$	2,6-difluorophenyl	-Cl	-CN		3,59	
195	$-CH_2-COOC_2H_5$	$-C_2H_5$	2,6-difluorophenyl	-Cl	-CN		3,61	
196	n-Butyl	$-C_2H_5$	2,6-difluorophenyl,	-Cl	-CN		4,64	
197	$-C_2H_5$	$-C_2H_5$	2,6-difluorophenyl	-Cl	-CN		3,88	
198	Cyclopropylmethyl	n-Propyl	2,6-difluorophenyl	-Cl	-CN		4,65	
199	(2-Tetrahydrofuryl)methyl	n-Propyl	2,6-difluorophenyl	-Cl	-CN		4,24	
200	2-Methoxyethyl	n-Propyl	2,6-difluorophenyl	-Cl	-CN		3,96	
201	$-CH_2-CH(OH)-CH_2-CH_2-$	*	2,6-difluorophenyl,	-Cl	-CN		2,47	
202	$-CH_2-CH_2-CH_2-CH(CH_3)-$	*	2,6-difluorophenyl	-Cl	-CN		3,92	
203	$-CH_2-CH_2-CH_2-CH_2-$	*	2,6-difluorophenyl	-Cl	-CN		3,55	
204	$-CH_2-CH_2-CH_2-CH_2-CH(CH_3)-$	*	2,6-difluorophenyl 2,6-difluorophenyl	-Cl	-CN		4,4	
205	$-CH_2-CH_2-CH_2-CH(CH_3)-CH_2-$	*	2,6-difluorophenyl,	-Cl	-CN		4,46	
206	$-CH_2-CH_2-CH(CH_3)-CH_2-CH_2-$	*	2,6-difluorophenyl	-Cl	-CN		4,46	
207	$-CH_2-CH_2-CH=C(CH_3)-CH_2-$	*	2,6-difluorophenyl	-Cl	-CN		4,2	
208	$-CH_2-CH_2-CH(CF_3)-CH_2-CH_2-$	*	2,6-difluorophenyl 2,6-difluorophenyl	-Cl	-CN		4,13	
209	$-CH_2-CH_2-CH_2-CH_2-CH_2-$	*	2,6-difluorophenyl,	-Cl	-CN		4,07	
210	$-CH_2-CH_2-S-CH_2-CH_2-$	*	2,6-difluorophenyl	-Cl	-CN		3,65	
211	2-fluorocyclopropyl	-H	2,4,6-trifluorophenyl	-Cl	-CN		3,06	
212	i-Butyl	-H	2,4,6-trifluorophenyl	-Cl	-Cl		4,7	
213	Allyl	$-C_2H_5$	2,4,6-trifluorophenyl	-Cl	-Cl		5,14	
214	2-Methoxyethyl	$-C_2H_5$	2,4,6-trifluorophenyl	-Cl	-Cl		4,61	

Table 1 (Continued)

Ex. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
215	$-CH_2-CH_2-CH_2-CH(CH_3)-$	*	2,4,6-trifluorophenyl	-Cl	-Cl		4,99	
216	$-CH_2-CH_2-CH_2-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-Cl		4,56	
217	$-CH_2-CH_2-CH(CH_3)-CH_2-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-Cl		5,59	
218	$-CH_2-CH_2-CH=CH-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-Cl		4,84	
219	$-CH_2-CH_2-CH_2-CH(CH_3)-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-Cl		5,59	
220	$-CH_2-CH_2-CH_2-CH_2-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-Cl		5,14	
221	$-CH_2-CH_2-O-CH_2-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-Cl		3,94	
222	$-CH_2-C(CH_3)_3$	-H	2,4,6-trifluorophenyl	-Cl	-Cl		5,19	
223	Cyclopropylmethyl	-H	2,4,6-trifluorophenyl	-Cl	-Cl		4,41	
224	$-CH_2-CF_3$	-H	2,4,6-trifluorophenyl	-Cl	-Cl		4,08	
225	$-CH_2-C(CH_3)=CH_2$	-H	2,4,6-trifluorophenyl	-Cl	-Cl		4,32	
226	Allyl	$-CH_3$	2,4,6-trifluorophenyl	-Cl	-Cl		4,8	
227	i-Butyl	$-CH_3$	2,4,6-trifluorophenyl	-Cl	-Cl		5,31	
228	2-Methoxyethyl	$-CH_3$	2,4,6-trifluorophenyl	-Cl	-Cl		4,23	
229	$-CH_2-C(CH_3)=CH_2$	$-CH_3$	2,4,6-trifluorophenyl	-Cl	-Cl		5,17	
230	$-CH_2-CH_2-CF_2-CH_2-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-CN		3,81	
231	$-CH_2-CH_2-CF_2-CH_2-CH_2-$	*	2,4,6-trifluorophenyl	-Cl	-Cl		4,61	
232	(2,2-dichloro-cyclopropyl)methyl	$-CH_3$	2,4,6-trifluorophenyl	-Cl	-CN		4,32	
233	(2,2-dichloro-cyclopropyl)methyl	$-CH_3$	2,4,6-trifluorophenyl	-Cl	-Cl		5,16	
234	2-fluorocyclopropyl	-H	2,4,6-trifluorophenyl	-Cl	-Cl		3,72	
235	$-C_2H_5$	-H	2,4-difluorophenyl	-Cl	-CN		3,2	
236	$-CH_2-CF_3$	-H	2,4-difluorophenyl	-Cl	-CN		3,34	
237	3,5-bis-trifluoromethylcyclohexyl	-H	2,4-difluorophenyl	-Cl	-CN		4,41	
238	$-CH_2-COOC_2H_5$	$-CH_3$	2,4-difluorophenyl	-Cl	-CN		3,49	
239	Allyl	$-CH_3$	2,4-difluorophenyl	-Cl	-CN		3,87	

Table 1 (Continued)

Ex. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
240	$-CH_2-CH_2-CN$	$-CH_3$	2,4-difluorophenyl	-Cl	-CN		2,98	
241	$-CH_2-CN$	$-CH_3$	2,4-difluorophenyl	-Cl	-CN		2,95	
242	$-CH_2-COOCH_3$	$-CH_3$	2,4-difluorophenyl	-Cl	-CN		3,17	
243	(2-Furyl)-methyl	$-CH_3$	2,4-difluorophenyl	-Cl	-CN		3,87	
244	i-Butyl	$-CH_3$	2,4-difluorophenyl	-Cl	-CN		4,33	
245	$-CH_2-CH_2-O-CH=CH_2$	$-CH_3$	2,4-difluorophenyl	-Cl	-CN		2,6	
246	2-Methoxyethyl	$-CH_3$	2,4-difluorophenyl	-Cl	-CN		3,41	
247	$-CH_3$	$-CH_3$	2,4-difluorophenyl	-Cl	-CN		3,25	
248	1,2-Dimethylpropyl	-H	2,4,6-trifluorophenyl	-Cl	-CN		4,17	
249	1,2-Dimethylpropyl	-H	2,4,6-trifluorophenyl	-Cl	-Cl		5,02	
250	1,2-Dimethylpropyl	-H	2,4,6-trifluorophenyl	-Cl	-Cl		5,02	
251	1,2-Dimethylpropyl	-H	2,4,6-trifluorophenyl	-Cl	-Cl		5,02	
252	1,2-Dimethylpropyl	-H	2,4,6-trifluorophenyl	-Cl	-CN		4,16	
253	1,2-Dimethylpropyl	-H	2,4,6-trifluorophenyl	-Cl	-CN		4,16	
254	$-O-CH_2-CH_2-CH_2-CH_2-$	*	2,4-difluorophenyl	-Cl	-CN		3,71	
255	$-O-CH_2-CH_2-CH_2-CH_2-$	*	2-chloro-4-fluorophenyl	-Cl	-CN		4,02	
256	$-O-CH_2-CH_2-CH_2-CH_2-$	*	2-chloro-6-fluorophenyl	-Cl	-CN		3,85	
257	1,2-Dimethylpropyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN	AS + BR	4,43	
258	1,2-Dimethylpropyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN	AR + BS	4,48	
259	i-Butyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN		4,18	
260	$-CH_2-C(CH_3)_3$	-H	2-chloro-4-fluorophenyl	-Cl	-CN		4,61	
261	2-Butyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN		4,18	

Table 1 (Continued)

Ex. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
262	Cyclopentyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN		4,32	
263	2-Methoxyethyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN		3,33	
264	Cyclopropylmethyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN		3,9	
265	$-CH_2-C(CH_3)=CH_2$	-H	2-chloro-4-fluorophenyl	-Cl	-CN		3,85	
266	i-Butyl	$-CH_3$	2-chloro-4-fluorophenyl	-Cl	-CN		4,67	
267	2-Methoxyethyl	$-CH_3$	2-chloro-4-fluorophenyl	-Cl	-CN		3,72	
268	$-CH_2-C(CH_3)=CH_2$	$-CH_3$	2-chloro-4-fluorophenyl	-Cl	-CN		4,56	
269	$-CH_2-C(CH_3)=CH_2$	$-C_2H_5$	2-chloro-4-fluorophenyl	-Cl	-CN		4,87	
270	2-Methoxyethyl	$-C_2H_5$	2-chloro-4-fluorophenyl	-Cl	-CN		3,99	
271	$-CH_2-CH_2-CH_2-CH(CH_3)-$	*	2-chloro-4-fluorophenyl	-Cl	-CN		4,32	
272	$-CH_2-CH_2-CH_2-CH_2-$	*	2-chloro-4-fluorophenyl	-Cl	-CN		3,99	
273	$-CH_2-CH_2-CH(CH_3)-CH_2-CH_2-$	*	2-chloro-4-fluorophenyl	-Cl	-CN		4,92	
274	$-CH_2-CH_2-CH_2-CH_2-CH_2-$	*	2-chloro-4-fluorophenyl	-Cl	-CN		4,51	
275	$-CH_2-CH_2-O-CH_2-CH_2-$	*	2-chloro-4-fluorophenyl	-Cl	-CN		3,33	
276	$-CH_2-CF_3$	-H	2-chloro-4-fluorophenyl	-Cl	-CN			

Table 1 (Continued)

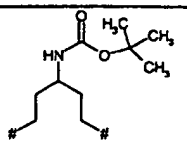
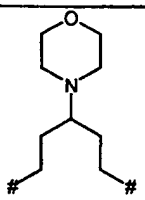
Ex. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
277	-$CH(CF_3)$-CH_2-CH_2-CH_2-	*	2-chloro-4-fluorophenyl	-Cl	-CN			
278	1,2-Dimethylpropyl	-H	2-chloro-6-fluorophenyl	-H	-Cl		4,43	
279	-CH_2-$C(CH_3)$=CH_2	-C_2H_5	2-chloro-4-fluorophenyl	-H	-Cl		5,14	
280	-NH-CH_2-CH_2-CH_2-CH_2-	*	2-chloro-4-fluorophenyl	-H	-Cl		3,57	
281	-NH-CH_2-CH_2-CH_2-CH_2-	*	2-chloro-6-fluorophenyl	-H	-Cl		3,6	
282	-CH_2-CH_2-$CH(COCH_3)$-CH_2-CH_2-	*	2,4-difluorophenyl	-Cl	-CN		3,31	
283	-CH_2-CH=$C(C_2H_5)$-CH_2-CH_2-	*	2,4-difluorophenyl	-Cl	-CN		4,76	
284	-CH_2-CH_2-CH=$C(CH_3)$-CH_2-	*	2,4-difluorophenyl	-Cl	-CN		4,33	
285	-CH_2-CH_2-$CH(COOCH_3)$-CH_2-CH_2-	*	2,4-difluorophenyl	-Cl	-CN		3,61	
286	-CH_2-CH_2-CHBr-CH_2-CH_2-	*	2,4-difluorophenyl	-Cl	-CN		4,21	
287	-$CH(COOCH_3)$-CH_2-CH_2-CH_2-CH_2-	*	2,4-difluorophenyl	-Cl	-CN		3,85	
288	-CH_2-CH_2-CHF-CH_2-CH_2-	*	2,4-difluorophenyl	-Cl	-CN		3,66	
289		*	2,4-difluorophenyl	-Cl	-CN		4	
290	-CH_2-CH_2-$CH(CF_3)$-CH_2-CH_2-	*	2,4-difluorophenyl	-Cl	-CN		4,2	
291		*	2,4-difluorophenyl	-Cl	-CN		1,74	

Table 1 (Continued)

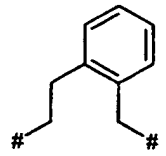
Ex. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
292	$-CH_2-CH_2-CH(NH-COCH_3)-CH_2-CH_2-$	*	2,4-difluorophenyl	-Cl	-CN		2,51	
293	$-CH_2-CH_2-N(CH_3)-CH_2-CH_2-$	*	2,4-difluorophenyl	-Cl	-CN		1,47	
294	$-CH_2-CH(CH_3)-O-CH(CH_3)-CH_2-$	*	2,4-difluorophenyl	-Cl	-CN		3,77	
295	$-CH_2-CH_2-CH_2-CH_2-CH_2-$	*	2,4-difluorophenyl	-Cl	-CN		4,18	
296	$-CH_2-CH_2-S-CH_2-CH_2-$	*	2,4-difluorophenyl	-Cl	-CN		3,73	
297		*	2,4-difluorophenyl	-Cl	-CN		4,38	
298	1,2-Dimethylpropyl	-H	2,6-difluorophenyl	-Cl	-CN		4,02	
299	$-CH_2-CHF_2$	-H	2-chloro-6-fluorophenyl	-H	-Cl		3,09	
300	2-Methoxyethyl	n-Propyl	2,4,6-trifluorophenyl	-Cl	-CN		4,1	
301	2,2,2-trifluoro-1-methylethyl	-H	2,6-difluorophenyl	-Cl	-CN	R	3,47	
302	1,2-Dimethylpropyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN	BR	4,44	
303	1,2-Di-methylpropyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN	AR	4,47	
304	1,2-Dimethylpropyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN	AR + BR	4,45	
305	1,2-Dimethylpropyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN	AS	4,46	
306	1,2-Dimethylpropyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN	BS	4,46	
307	1,2-Dimethylpropyl	-H	2-chloro-4-fluorophenyl	-Cl	-CN	AS + BS	4,45	
308	$-CH_2-CH_2-N(CH_3)_2$	$-C_2H_5$	2,4-difluorophenyl	-Cl	-CN		1,83	
309	Allyl	$-C_2H_5$	2,4-difluorophenyl	-Cl	-CN		4,18	

Table 1 (Continued)

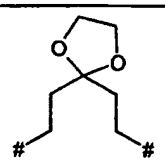
Ex.. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
310	(2-Furyl)methyl	$-C_2H_5$	2,4-difluorophenyl	-Cl	-CN		4,18	
311	(2-Tetrahydrofuryl)methyl	$-C_2H_5$	2,4-difluorophenyl	-Cl	-CN		4,02	
312	$-CH_2-CH_2-CN$	$-C_2H_5$	2,4-difluorophenyl	-Cl	-CN		3,24	
313	2-Meth-oxyethyl	$-C_2H_5$	2,4-difluorophenyl	-Cl	-CN		3,74	
314	$-CH_2-COOC_2H_5$	$-C_2H_5$	2,4-difluorophenyl	-Cl	-CN		3,81	
315	3-Aminopropyl	n-Propyl	2,4-difluorophenyl	-Cl	-CN		1,75	
316	(2-Tetrahydrofuryl)methyl	n-Propyl	2,4-difluorophenyl	-Cl	-CN		4,45	
317	2-Thienylmethyl	n-Propyl	2,4-difluorophenyl	-Cl	-CN		4,8	
318	2-Methoxyethyl	n-Propyl	2,4-difluorophenyl	-Cl	-CN		4,13	
319	$-CH_2-CH_2-NH_2$	-i-Propyl	2,4-difluorophenyl	-Cl	-CN		1,72	
320	$-CH_2-COOC_2H_5$	Cyclopropyl	2,4-difluorophenyl	-Cl	-CN		3,99	
321	$-CH_2-CH(OH)-CH_2-CH_2-$	*	2,4-difluorophenyl	-Cl	-CN		2,57	
322	$-CH_2-CH_2-CH_2-CH(CH_3)-$	*	2,4-difluorophenyl	-Cl	-CN		4,05	
323	$-CH_2-CH_2-CH_2-CH_2-$	*	2,4-difluorophenyl	-Cl	-CN		3,7	
324	$-CH_2-CH_2-CH(OH)-CH_2-CH_2-$	*	2,4-difluorophenyl	-Cl	-CN		2,63	
325		*	2,4-difluorophenyl	-Cl	-CN		3,51	
326	$-CH_2-CH(CH_3)-CH_2-CH(CH_3)-CH_2-$	*	2,4-difluorophenyl	-Cl	-CN		4,98	
327	$-CH_2-CH_2-CH_2-CH_2-CH(CH_3)-$	*	2,4-difluorophenyl	-Cl	-CN		4,49	

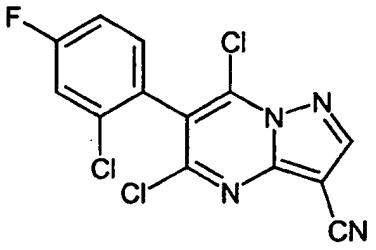
Table 1 (Continued)

Ex. No.	R^1	R^2	R^3	X^1	X^2	Isomer**	logP	Fp.: (°C)
328	$-CH_2-CH_2-CH_2-CH(CH_3)-CH_2-$	*	2,4-difluorophenyl	-Cl	-CN		4,59	
329	$-CH_2-CH_2-CH(CH_3)-CH_2-CH_2-$	*	2,4-difluorophenyl	-Cl	-CN		4,59	
330	$-CH_2-CH(OH)-CH_2-CH_2-CH_2-$	*	2,4-difluorophenyl	-Cl	-CN		2,83	
331	$-CH_2-CH_2-C(CH_3)_2-CH_2-CH_2-$	*	2,4-difluorophenyl	-Cl	-CN		4,83	

stands for the connection point

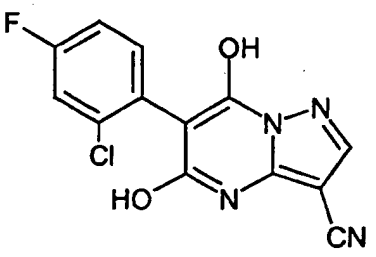
[0134] The determination of the logP values was performed per EEC Directive 79/831 Annex V. A8 by means of HPLC (gradient method, acetonitrile/0.1% aqueous phosphoric acid)
*) means that R^1 and R^2 together with the nitrogen atom to which they are bonded form a heterocyclic ring.
**) The products were isolated in part as stereoisomers. "S" or "R" mean S or R configurations at the center of chirality; "AS" means a unique but unknown configuration at the center of atropy and an S configuration at the center of chirality. BS means the other unique but unknown configuration at the center of atrophy and S configuration at the center of chirality. "AR" and BR" in turn mean the respective complimentary configurations at the center of atropy combined with the R configuration at the center of chirality. Accordingly, with the same substituents "AR" and "BS" as well as "AS" and "BR" are each enantiomer pairs.

Preparation of precursors of structure (II)
Example 332



(II-1)

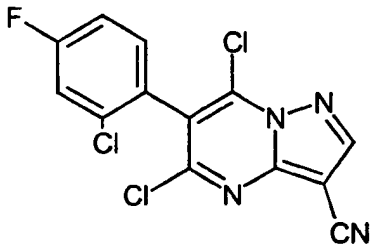
Process (e)



(V-1)

[0135] Mix 48 g (0.184 mol) 2-chloro-4-fluoro-phenylmalonic acid dimethylester with 19.91 g (0.184 mol) 4-cyano-5-aminopyrazol, and with 37.55g (0.203 mol) tri-n-butylamine, and stir for 6 hours at 180°C. Distill off the methanol that results during the reaction. Then cool the reaction mixture to room temperature. Distill off volatile components at 95°C and 1 mbar. 6-(2-chloro-4-fluorophenyl)-5,7-dihydroxypyrazolo[1,5-a]pyrimidine-3-carbonitrile is obtained as the residue in the form of a crude product that is used without further purification for the further synthesis.

Process (c)

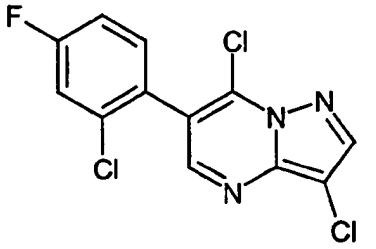


(II-1)

[0136] The previously obtained 6-(2-chloro-4-fluorophenyl)5,7-dihydroxypyrazolo[1,5-a]pyrimidine-3-carbonitrile is dissolved in the crude condition in 367.3 g (2.395 mol) phosphoroxychloride. 31.95 g (0.153 mol) phosphorus pentachloride is added in portions at room temperature. The mixture is then boiled at room temperature for 12 hours under reflux. The volatile components are then distilled off in a vacuum; the residue is mixed with dichloromethane and washed with water. The organic phase is dried over sodium sulfate and concentrated under vacuum. The residue is chromatographed on silica gel using 3 parts cyclohexane and 1 part ethyl acetate as the mobile phase. 21 g 95.7% 3-cyano-5,7-dichloro-6-(2-chloro-4-fluorophenyl)-pyrazolo[1,5-apyrimidine is obtained.
HPLC: logP = 3.48
^{1}H-NMR (DMSO-d6, tetramethylsilane): δ = 7.44–7.52 (1H); 7.62–7.66 (1H); 7.71–7.77 (1H); 9.03 (1H) ppm.

[0137] Stir 26 g (82.4 mmol) 3-chloro-6-(2,4,6-trifluorophenyl)-pyrazolol[1,5-a]pyrimidine-5,7-diol and 8.6 g (41.2 mmol) phosphorous pentachloride in 126.3 g phosphoroxy chloride for one hour at 110°C. After the reaction mixture has been cooled using ice, mix with water and dichloromethane. Remove the organic phase, dry, and concentrate under vacuum. Chromatograph the residue with methyl-t-butylether/petroleum ether (1:9) on silica gel. 5 g (16.4% of theoretical) 3,5,7-trichloro-6-(2,4,6-trifluorophenyl)pyrazolo[1,5-a]-pyrimidine is obtained.
HPLC: logP = 3.97.

Example 334

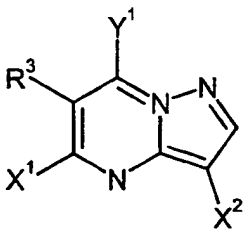


(II-3)

Process (b)

[0138] 14.2 g (11.9 mmol) 25% 3-chloro-6-(2-chloro-4-fluorophenyl)-pyrazolo[1,5-a]pyrimidine-7-ol and 1.24 g (5.9 mmol) phosphorus pentachloride are stirred in 16.3 g phosphoroxychloride for one hour at 110°C and then 4 hours without applying additional heat. After cooling, the reaction mixture is stirred with water and dichloromethane while being cooled with ice. The organic phase is separated, dried, and concentrated under reduced pressure. The residue is chromatographed on silica gel using N-hexane/ethyl acetate (3:1 to 1:1). 2.1 g (54% of theoretical) of 3,7-dichloro-6-(2-chloro-4-fluorophenyl)pyrazolo[1,5-a]-pyrimidine is obtained.
HPLc: logP = 3.56.

[0139] Using the methods stated above, the compounds of structure



(II)

of Table 2 below are prepared.

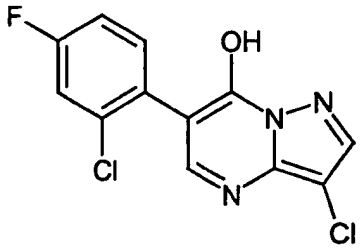
Table 2

Ex. No.	X^1	Y^1	R^3	X^2	logP	Fp.: (°C)
335	-Cl	-Cl	2-chloro-6-fluorophenyl	-CN	3,31	
336	-Cl	-Cl	2-chloro-4-fluorophenyl	-Cl		
337	-Cl	-Cl	2,4-difluorophenyl	-CN	3,16	136-38
338	-Cl	-Cl	2,6-dichlorophenyl	-CN	3,59	
339	-Cl	-Cl	2,4,6-trifluorophenyl	-CN	3,2	
340	-H	-Cl	2-chloro-6-fluorophenyl	-CN		
341	H	-Cl	2-chloro-6-fluorophenyl	-Cl		

[0140] The determination of the logP values was performed per EEC Directive 79/831 Annex V. A8 by means of HPLC (gradient method, acetonitrile/0.1% aqueous phosphoric acid).

Preparation of Precursors of Structures (IV) and (V)

Example 342

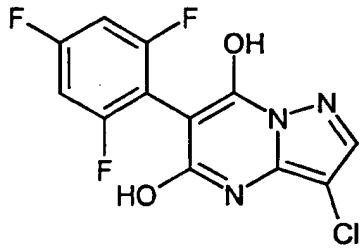


(IV-1)

Process (d)

[0141] Stir 11.3 g (43.85 mmol) 2-(2-chloro-4-fluorophenyl)-3-(dimethylamino)-2-acrylic acid methyl [*sic*] and 5.2 g (43.85 mmol) 4-chloro-1H-pyrazol-5-amine in 11.5 ml tri-n-butylamine for 6 hours at 180°C, during which time the resulting methanol and dimethylamine are distilled off. Then concentrate the mixture under vacuum. 14.2 g (27% of theoretical) of 25% 3-chloro-6-(2-chloro-4-fluorophenyl)pyrazolo[1,5-a]pyrimidine-7-ol is obtained.

Example 343



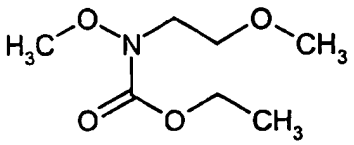
(V-2)

Process (e)

[0142] Stir 11.15 g (42.5 mmol) 2-(2,4,6-trifluorophenyl)-dimethyl malonate and 5 g (42.5 mmol) 4-chloro-1H-pyrazol-5-amine in 11.5 ml tri-n-butylamine for 3 hours at 180°C, while distilling off the resulting methanol. Decant the product. 21 g (76% of theoretical) 49% 3-chloro-6-(2,4-6-trifluorophenyl)pyrazolo[1,5-a]pyrimidine-5,7-diol is obtained.

Preparation of the Amines of Structure (II)

Process (f) First Step

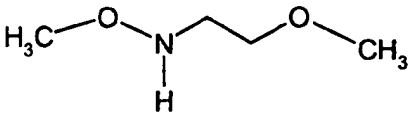


(XI-1)

[0143] Mix 1000 mg N-methoxymethyl carbaminate in 10.0 ml dimethyl formamide and then mix in portions with 403 mg sodiumhydride, while adjusting the temperature to 30°C by means of cooling. Stir the reaction mixture for 2 hours at 30°C, and then add 3500 mg 2-bromomethylmethylether. Stir the reaction mixture for 18 hours at 20°C to 25°C, and then stir in 20 ml water. Concentrate the resulting reaction mixture under vacuum until it is dry, and extract 4 times, each with 30 ml dichloromethane. Dry the organic extracts over sodium sulfate, filter, and concentrate until dry under vacuum.

[0144] 1200 mg (N-methoxy-N-methoxyethyl)ethyl carbaminate (purity 77.6%, yield 62.6%) is obtained.

Process (f) Second Step



(III-1)

[0145] Combine 200 mg (N-methoxy-N-methoxyethyl)ethyl carbaminate in 4.0 ml aqueous ethanol (59%), mix with 240.6 mg potassium hydroxide, and stir for 18 hours at 40°C. Then stir the reaction mixture into 50 ml water, extract 3 times in each case with 20 ml diethylether, and 3 times, in each case with 20 ml dichloromethane. Wash the combined organic phases two times, each with 20 ml water, dry, and concentrate to a volume of 20 ml under vacuum.

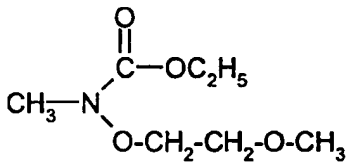
[0146] Mix the resulting solution while cooling with ice with 2 ml hydrochloric acid, stir for one hour at room temperature, and concentrate under vacuum at 20°C until dry.

[0147] Wash the resulting product 3 times with 15 ml methanol each time and then concentrate under vacuum at 20°C until dry.

[0148] 140 mg N-methoxy-N-methoxyethylamine hydrochloride is obtained (yield 87.6%).

Example 344

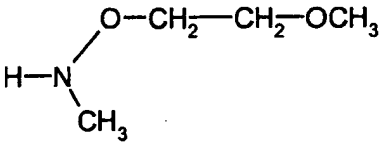
Process (g), First Step



(XIII-1)

[0149] Prepare a mixture of 1000 mg N-hydroxy-N-methylethyl carbamate, 1166 mg 2-bromomethyl-methylether and stir heating to reflux temperature and then dilute dropwise with a solution of 493 mg potassium hydroxide in 5 ml ethanol. Boil the reaction mixture for 10 hours under reflux and purify further by filtering the reaction mixture and concentrating the filtrate under vacuum. Mix the resulting residue with a mixture of water and ethyl acetate. Separate the organic phase, wash with saturated aqueous ammonium chloride solution and then with water. Then dry the organic phase over sodium sulfate and concentrate under vacuum. In this way 0.7 g of a product which, based on a gas chromatogram, is 83% (N-methyl-N-methoxyethoxy)-ethyl carbaminate is obtained. Thus, the yield is 39% of theoretical.

Process (g), Second Step



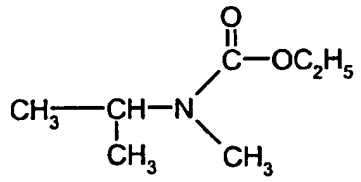
(III-2)

[0150] Mix a mixture of 200 mg (N-methyl-N-methoxyethoxy)-ethyl carbaminate, 4 ml ethanol, and 4 ml water with 240.6 mg pulverized potassium hydroxide, and stir for 2 hours at 40°C. Then stir the reaction mixture into 50 ml water, extract three times, each with 20 ml diethylether, and then three times, each with 20 ml methylene chloride. The combined organic phases are then washed two times, each in 20 ml water, dried over sodium sulfate, and concentrated to a volume of 20 ml under vacuum at room temperature. Mix the resulting solution with 1 ml etheric hydrochloric acid while cooling with

ice. Filter off and dry the precipitating crystals. In this way, 190 mg of N-methyl-N-methoxyethoxy-amine hydrochloride is obtained.

Example 345

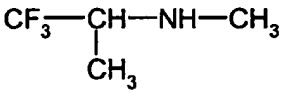
Process (h), First Step



(XVI-1)

[0151] Add 475 mg sodium hydride to a mixture of 2000 mg N-(2,2,2-trifluoro-1-methyl-ethyl)-ethylcarbaminate and 20 ml tetrahydrofuran at room temperature. Then while stirring at room temperature add dropwise a solution of 4600 mg iodomethane in 10 ml tetrahydrofurane. Stir the reaction mixture for 16 hours at 50°C, and then mix with water. Then extract three times each with 20 ml methylene chloride, dry the combined organic phases over sodium sulfate and concentrate under vacuum. 1000mg of a product which, according to the gas chromatogram consists of 75% N-(2,2,2-trifluoro-1-methylethyl)-N-methyl-ethyl carbaminate is obtained. Accordingly, the yield is 34.86%.

Process (h), Second Step

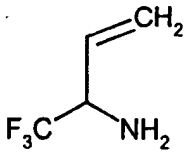


(III-3)

[0152] Take a mixture of 1000 mg N-(2,2,2-trifluoro-1-methylethyl)-N-methyl-ethyl carbamate, 20 ml ethanol and 20 ml water and mix with 1070 mg powdered potassium hydroxide, and stir for 66 hours at 40°C. Then dilute the reaction mixture in water and extract 3 times, each with 20 ml of a mixture comprised of equal parts of methylene chloride and diethyl ether. Dry the combined organic phases over sodium sulfate and concentrate at room temperature under a slight vacuum. Mix the resulting solution while cooling with ice and to it add etheric hydrochloric acid and stir at room temperature for 60 hours. Following concentration under vacuum, 280 mg N-(2,2,2-trifluoro-1-methylethyl)-N-methylamine hydrochloride is obtained. Accordingly, the yield is 34% of theoretical.

Example 346

Process (i)



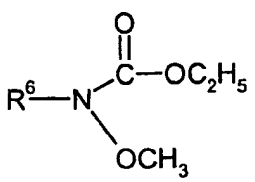
(III-4)

[0153] Heat 600 mg N(1-trifluoromethyl-2-propene)-benzyl carbaminate in 8.0 ml 16% hydrochloric acid for 1.5 hours under reflux. After cooling to 20°C, extract 2 times at 20°C, each time using 20 ml diethyl ether.

[0154] Concentrate the remaining aqueous phase under vacuum until it is dry, mix 3 times, each with 10 ml methanol. After the methanol is removed under vacuum, 310 mg (1-trifluoromethyl-prop-2-en)-amine-hydrochloride is isolated. The yield is calculated to be 82.9% of theoretical.

[0155] The carbamates listed in the following table can be prepared using the method mentioned above.

Table 3



(XI)

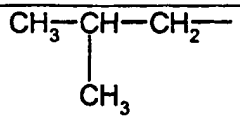
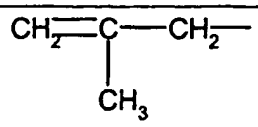
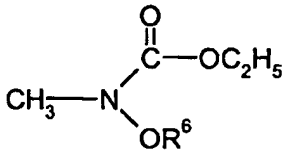
Example No.	Proc. No.	R^6	logP
347	XI-2		2.38
348	XI-3		2.06

Table 4



(XIII)

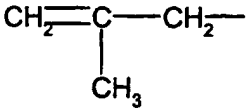
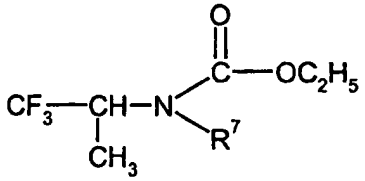
Example No.	Proc. No.	R^6	Physical Constant
349	XIII-2		

Table 5

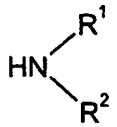


(XVI)

Example No.	Proc. No.	R^7	Physical Constant
350	XVI-2	$-C_2H_5$	^{1}H-NMR (400 MHz, CD_3CN): δ (ppm) = 1,13 (t, $\underline{CH_3}CH_2N$), 1,21 (t, $\underline{CH_3}CHCF_3$), 1,23 (t, $\underline{CH_3}CH_2O$), 3,20 (m, $\underline{CH_2}N$, $C\underline{H}CF_3$), 4,1 (q, $CH_3\underline{CH_2}O$).

[0156] The amines listed in the table below can be prepared using the methods described above.

Table 6



(III)

Example No.	Proc. No.	R^1	R^2	Physical Constant
351	III-5	$CH_3-CH(CH_3)-CH_2-$	$-OCH_3$	^{1}H-NMR (400 MHz, CD_3CN): δ (ppm) = 1,03 (d, $\underline{CH_3})_2CH$), 3,06 (d, $\underline{CH_2}$), 3,28 (b, $(CH_3)_2\underline{CH}$), 4,01 (s, OCH_3)
352	III-6	$CH_2=C(CH_3)-CH_2-$	$-OCH_3$	^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1,76 (s, $\underline{CH_3}(CCH_2)CH_2$), 3,29 (b, NH, $CH_3(CCH_2)\underline{CH_2}$, OCH_3), 7,89, 5,02 (2 s, $CH_3(CC\underline{H_2})CH_2$).
353	III-7	$CH_2=C(CH_3)-CH_2-$		
354	III-8	$CF_3-CH(CH_3)-$	$-C_2H_5$	^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1,06 (m, $\underline{CH_3}CH_2N$, $\underline{CH_3}CHCF_3$), 3,20 (m, $\underline{CH_2}N$), 4,1 (m, $C\underline{H}CF_3$).

[0157] The amines listed in examples 351 to 354 were each isolated in the form of their hydrochlorides and were characterized.

Examples of Use

Example A

Venturia Test (Apple)/Protective

Solvent: 24.5 parts by weight acetone
24.5 parts by weight dimethyl acetamide
Emulsifier: 1.0 parts by weight alkyl-aryl-polyglycol ether

[0158] In order to obtain a usable active ingredient formulation, one wt. part active ingredient is mixed with the stated amounts of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

[0159] In order to perform the test for protective effectiveness, young plants were sprayed with the active ingredient formulation at the specified application rate. After the spray coating dried, the plants were inoculated with an aqueous conidial suspension of Venturia inaequalis, which causes apple scab, and the plants were then placed for one day in an incubation chamber at approximately 20°C and 100% relative humidity.

[0160] The plants are then set up in a greenhouse at approximately 21°C and a relative humidity of about 90%.

[0161] 10 days following the inoculation, the evaluation is performed. Here, 0% means an effectiveness equivalent to that of the control, while an effectiveness of 100% means that no successful attack was observed.

[0162] In this test, the substances listed in examples 1, 3, 6, 7, 12, 13, 21, 28, 29, 34, 46, 50, 52, 95, 108, 110, 138, 145, 231 and 252 with an application rate of 100 g/ha exhibitied an efficiency of over 90%.

Example B

Botrytis Test (Bean)/Protective

Solvent: 24.5 parts by weight acetone, 24.5 parts by weight dimethyl acetamide
Emulsifier: 1.0 parts by weight alkyl-aryl polyglycol ether

[0163] To prepare an appropriate active ingredient formulation, one part by weight active ingredient is mixed with the stated quantities of solvent and emulsifiers, and the concentrate is diluted with water to the desired concentration.

[0164] To test for protective efficacy, young plants are sprayed with the active ingredient formulation using the stated application rate. After the spray coating has dried, two small pieces of agar grown with Botrytis cinerea are placed on each leaf. The inoculated plants are placed in a darkened chamber at about 20°C and 100% relative humidity.

[0165] Two days after the inoculation, the size of the infected spots on the leaves is evaluated. Here, 0% means a degree of efficacy corresponding to that of the control, while a degree of efficacy of 100% means that no infection is observed.

[0166] In this test the substances listed in examples 1, 3, 6, 7, 12, 13, 21, 28, 29, 34, 46, 50, 52, 95, 108, 110, 138, 145, 231, and 252 exhibit a degree of efficacy over 90% at an application rate of 500 g/ha.

Example C

Alternaria Test (Tomato)/Protective

Solvent: 49 parts by weight N,N-dimethyl formamide
Emulsifier: 1 part by weight alkylaryl polyglycol ether

[0167] To prepare an appropriate active ingredient formulation, one part by weight active ingredient is mixed with the stated quantities of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

[0168] To test for protective efficacy, young tomato plants are sprayed with the active ingredient formulation using the stated application rate. One day after treatment, the plants are inoculated with a spore suspension of Alternaria solani, and then they are allowed to stand for 24 h at 100% relative humidity and 20°C. Then the plants are kept at 96% relative humidity and a temperature of 20°C.

[0169] The evaluation is performed 7 days following inoculation. Here, 0% means a degree of efficacy corresponding to that of the control, while a degree of efficacy of 100% means that no infection is observed.

[0170] In this test, the substances listed in examples 6, 7, 14, 21, 148, and 230 exhibit a degree of efficacy of at least 90% at an application rate of 750 g/ha.

Example D

Fusarium nivale (var. majus) Test (Wheat)/Protective

Solvent: 25 parts by weight N,N-dimethylacetamide
Emulsifier: 0.6 parts by weight alkylaryl polyglycol ether

[0171] To prepare an appropriate active ingredient formulation, one part by weight active ingredient is mixed with the stated quantities of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

[0172] In order to test for the protective efficacy, young plants are sprayed with the active ingredient formulation at the stated application rate. After the spray has dried, the plants are sprayed with a conidial suspension of Fusarium nivale (var. majus).

[0173] The plants are then placed in a greenhouse under a light-transmitting incubation hood at a temperature of 15°C and a relative humidity of approximately 100%.

[0174] The evaluation is conducted 6 days after inoculation. Here, 0% means a degree of efficacy corresponding to that of the control, while a degree of efficacy of 100% means that no infection is observed.

[0175] In this test, the substances listed in examples 3, 6, and 145 exhibit a degree of efficacy of at least 80% at an application rate of 500 g/ha.

Example E

Pyricularia Test (Rice)/Protective

Solvent: 25 parts by weight N,N-dimethyl acetamide
Emulsifier: 0.6 parts by weight alkylaryl polyglycol ether

[0176] To prepare an appropriate active ingredient formulation, one part by weight active ingredient is mixed with the stated quantities of solvent and emulsifier, and the concentrate is diluted with water to the desired concentration.

[0177] To test for protective efficacy, young rice plants are sprayed with the active ingredient formulation at the stated application rate. After the spray has dried, the plants are inoculated with an aqueous spore suspension of Pyricularia oryzae. Then the plants are placed in a greenhouse at 100% relative humidity and 25°C.

[0178] The evaluation is performed 6 days after inoculation. Here, 0% means a degree of efficacy corresponding to that of the control, while a degree of efficacy of 100% means that no infection is observed.
[0179] In this test, examples 3, 7, 54, and 74 of the listed substances had a degree of efficacy of at least 75% at an application rate of 500 g/ha.

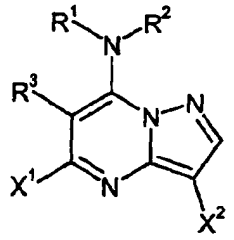
Example F

Plutella Test

Solvent: 100 parts by weight acetone
1900 parts by weight methanol
[0180] To prepare an appropriate active ingredient formulation, 1 part by weight active ingredient is mixed with the stated amount of solvent, and the concentrate is diluted with methanol to the desired concentrations.
[0180] The stated amount of active ingredient formulation of the desired concentration is pipetted onto a standard quantity of formulated feed. After the methanol has evaporated, approximately 200–300 eggs of the diamondback moth (Plutella xylostella) are placed on the feed.
[0182] After the specified time, the mortality of the eggs or larva is determined in %. 100% means that all of the animals were killed; 0% means that no animals were killed.
[0183] In this test the substance listed in example 145 produces a mortality of over 90% at an active ingredient concentration of 1000 ppm.

What is claimed is:

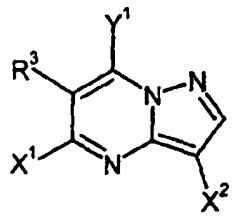
1. Pyrozolopyrimidines having the structure



(I),

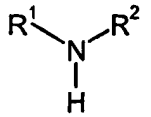
in which
R^1 stands for amino, hydroxy, or for in each case optionally substituted alkyl, alkenyl, alkinyl, cy[c]loalkyl, alkoxy, alkenyloxy, alkinyloxy, cycloalkyloxy, alylamino, dialkylamino, alkenylamino, alkinylamino, cycloalkylamino, N-cycloalkyl-N-alkylamino, alkylideneamino, or heterocyclyl,
R^2 stands for hydrogen or for in each case optionally substituted alkyl, alkenyl, alkinly, or cycloalkyl,
or
R^1 and R^2 together with the nitrogen atom to which they are bonded form an optionally substituted heterocy[c]lic ring,
R^3 stands for optionally substituted aryl,
X^1 stands for hydrogen or halogen, and
X^2 stands for halogen, cyano, nitro, halogenalkyl, formyl, alkoxycarbonyl, alkylcarbonyl, hydroxyiminoalkyl, or alkoxyiminoallcyl [*sic*],
as well as acid addition salts of those compounds of structure (I),
in which
R^1 stands for amino.

2. A process preparing pyrazolopyrimidines of structure (I) of claim 1, wherein
   a) halogenpyrazolopyrimidines having the structure



(II),

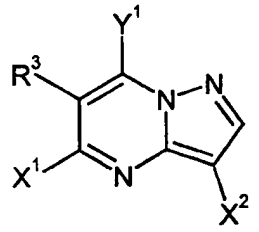
in which R^3, X^1, and X^2 have the meanings stated above, and
Y^1 stands for halogen,
are reacted with amines having the structure



(III)

in which
R^1 and R^2 have the meanings stated above,
optionally in the presence of a diluent, optionally in the presence of a catalyst, and optionally in the presence of an acid acceptor,
and optionally an acid is added to the compounds of structure [1] in which
R^1 stands for amino.

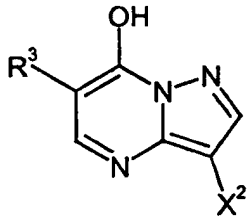
3. Agents to combat harmful organisms, characterized by having a content of at least 1 pyrazolopyrimidine of structure (I) of claim 1 or of an acid addition salt thereof, in addition to extenders and/or surfactants.
4. The use of pyrazolopyrimidines of structure (I) of claim 1 or of their acid addition salts to combat damaging organisms.
5. A process to combat damaging organisms, wherein pyrazolopyrimidines of structure (I) of claim 1 or their acid addition salts are applied to the damaging organisms and/or the space in which they live.
6. A process for preparing means to combat damaging organisms, wherein pyrazolopyrimidines of structure (I) of claim 1 or their acid addition salts are mixed with extenders and/or surfactants.
7. Halogen pyrazolopyrimidines of structure



(II),

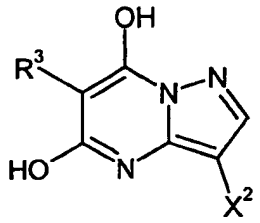
in which
R^3 stands for an optionally substituted aryl,
X^1 stands for hydrogen or halogen, and
X^2 stands for halogen, cyano, nitro, halogen alkyl, formyl, alkoxycarbonyl, alkylcarbonyl, hydroxyaminoalkyl, or alkoxyaminoalkyl, and
Y^1 stands for halogen.

8. A process for preparing halogen pyrazolopyrimidines of structure (II) of claim 7, wherein
b) hydroxy pyrazolopyrimidines having the structure



(IV)

in which
R^3 and X^2 have the meanings stated above,
are reacted with halogenation agents, optionally in the presence of a diluent,
or
c) dihydroxy pyrazolopyrimidines having the structure

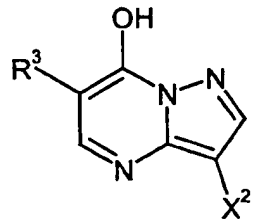


(V)

in which R^3 and X^2 have the meanings stated above,

are reacted with halogenation agents, optionally in the presence of a diluent.

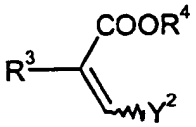
9. Hydroxypyrazolopyrimidines having the structure



(IV)

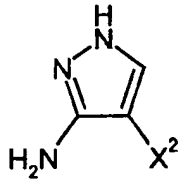
in which
R^3 stands for optionally substituted aryl, and
X^2 stands for halogen, cyano, nitro, halogenalkyl, formyl, alkoxycarbonyl, alkylcarbonyl, hydroxyiminoalkyl, or alkoxyiminoalkyl.

10. A process for preparing hydroxypyrazolopyrimidines of structure (IV) of claim 9, wherein
d) acrylates having the structure



(VI)

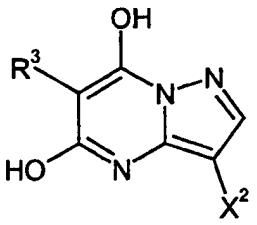
in which
R^3 has the meaning stated above,
R^4 stands for alkyl, and
Y^2 stands for alkoxy or dialkylamino,
are reacted with aminopyrazoles having the structure



(VII)

in which
X^2 has the meaning stated above,
optionally in the presence of a diluent and optionally in the presence of a base.

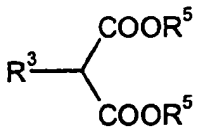
11. Dihydroxypyrazolopyrimidines having the structure



(V)

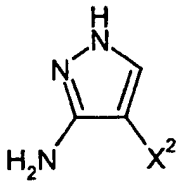
in which
R^3 stands for optionally substituted aryl, and
X^2 stands for halogen, cyano, nitro, halogenalkyl, formyl, alkoxycarbonyl, alkylcarbonyl, hydroxyiminoalkyl, or alkoxyiminoalkyl.

12. A process for the preparation of dihydroxypyrazolopyrimidines having structure (V) of claim 11, wherein
e) malonates having the structure



(VIII)

in which
R^3 has the meaning stated above, and
R^5 stands for alkyl,
are reacted with aminopyrazoles having the structure



(VII)

in which
X^2 has the meaning stated above,
optionally in the presence of a diluent and optionally in the presence of a strong base.